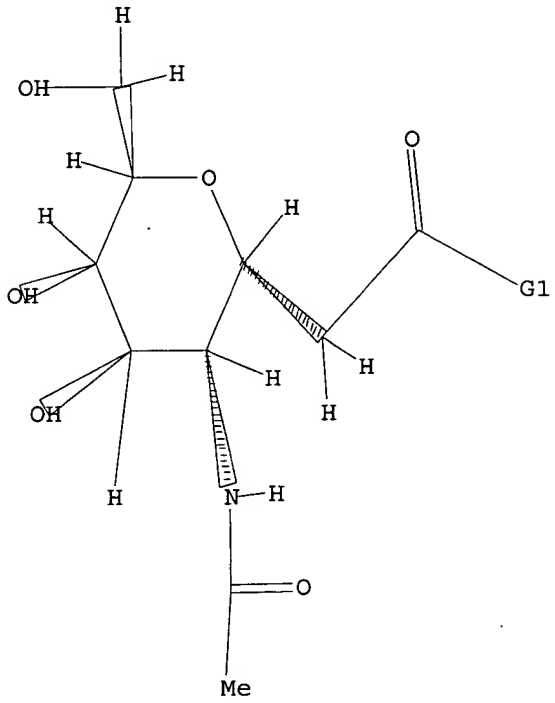
```
d his

     (FILE 'HOME' ENTERED AT 10:43:54 ON 09 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003
L1                STRUCTURE UPLOADED
L2            0 S L1 SSS SAM
L3            0 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5            0 S L4 SSS SAM
L6            1 S L4 SSS FULL
L7                STRUCTURE UPLOADED
L8            0 S L7 SSS SAM
L9            0 S L7 SSS FULL
L10               STRUCTURE UPLOADED
L11           0 S L10 SSS SAM
L12           2 S L10 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003
L13           2 S L12
```

ploading non-mucin-537.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 OH,H

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 10:44:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 306 TO ITERATE

100.0% PROCESSED 306 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5071 TO 7169
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:44:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5918 TO ITERATE

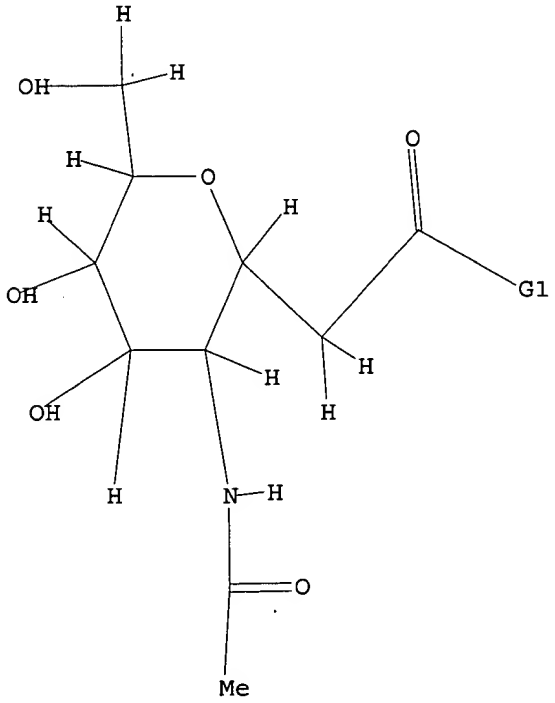
100.0% PROCESSED 5918 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=>
Uploading non-mucin-537b.str

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 OH,H

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam
SAMPLE SEARCH INITIATED 10:46:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 306 TO ITERATE

100.0% PROCESSED 306 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5071 TO 7169
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 10:47:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5918 TO ITERATE

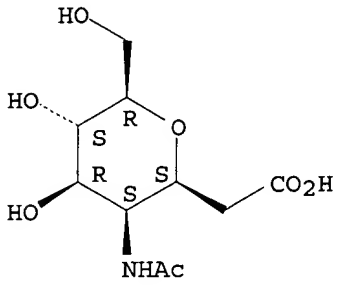
100.0% PROCESSED 5918 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L4

=> d scan

L6 1 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN D-glycero-D-galacto-Octonic acid, 4-(acetylamino)-3,7-anhydro-2,4-dideoxy-
(9CI)
MF C10 H17 N O7

Absolute stereochemistry.



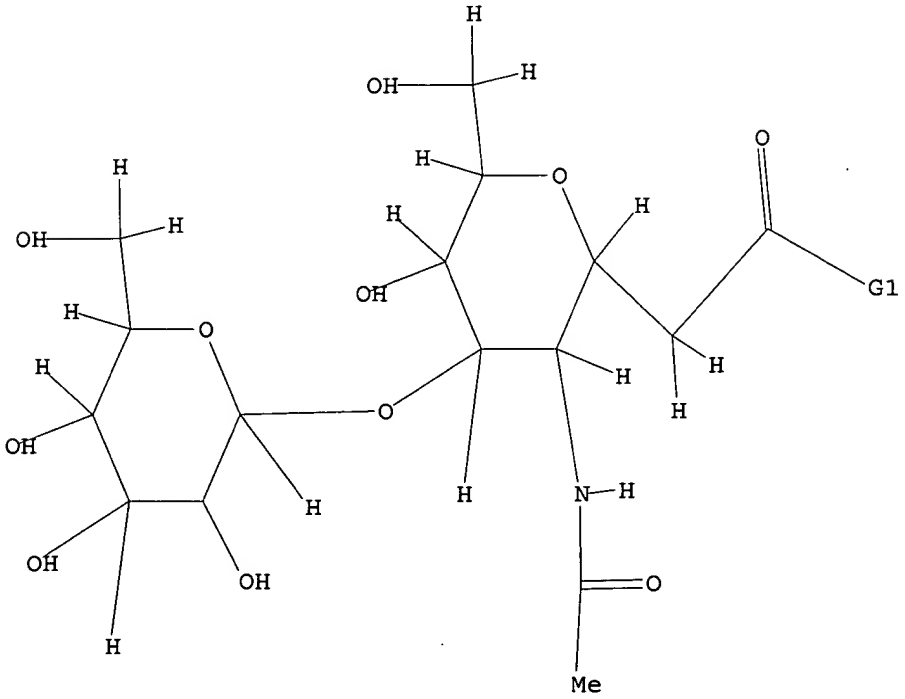
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=>
Uploading non-mucin-537c.str

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 OH,H

Structure attributes must be viewed using STN Express query preparation.

=> s l7 sss sam
SAMPLE SEARCH INITIATED 10:57:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 309 TO ITERATE

100.0% PROCESSED 309 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5126 TO 7234
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 10:57:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6233 TO ITERATE

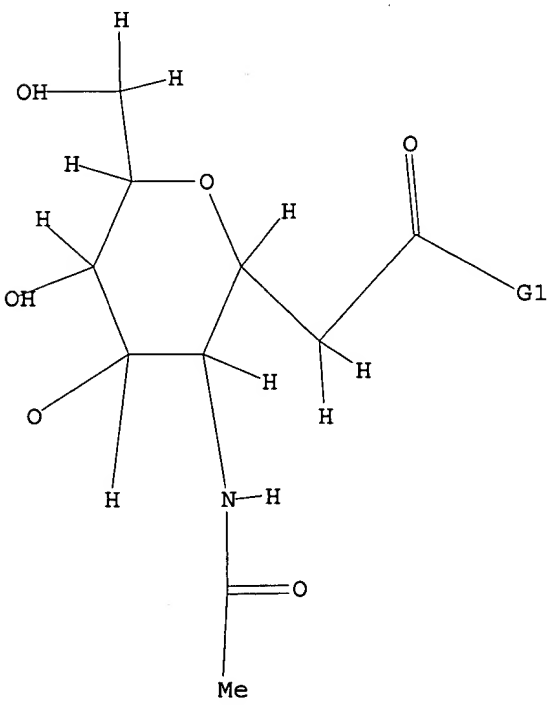
100.0% PROCESSED 6233 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L9 0 SEA SSS FUL L7

=>
Uploading non-mucin-537d.str

L10 STRUCTURE UPLOADED

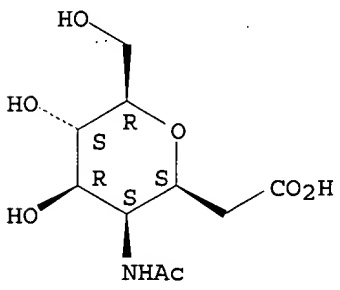
=> d l10
L10 HAS NO ANSWERS
L10 STR



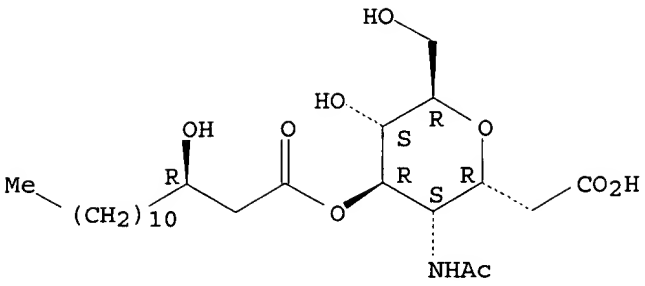
G1 OH,H

Structure attributes must be viewed using STN Express query preparation.

```
=> s l10 sss sam
SAMPLE SEARCH INITIATED 10:59:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    306 TO ITERATE

100.0% PROCESSED     306 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5071 TO     7169
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 10:59:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   5918 TO ITERATE

100.0% PROCESSED    5918 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.01

L12           2 SEA SSS FUL L10

=> d scan

L12  2 ANSWERS   REGISTRY  COPYRIGHT 2003 ACS on STN
IN   D-glycero-D-galacto-Octonic acid, 4-(acetylamino)-3,7-anhydro-2,4-dideoxy-
     (9CI)
MF   C10 H17 N O7

Absolute stereochemistry.
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L12  2 ANSWERS   REGISTRY  COPYRIGHT 2003 ACS on STN
IN   D-glycero-D-ido-Octonic acid, 4-(acetylamino)-3,7-anhydro-2,4-dideoxy-,
     5-(3-hydroxytetradecanoate), (R)- (9CI)
MF   C24 H43 N O9

Absolute stereochemistry.
```



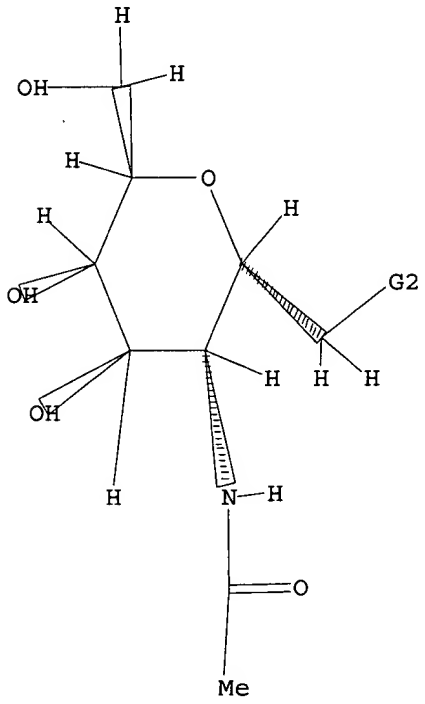
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

Uploading non-mucin-537f.str

L15 STRUCTURE UPLOADED

=> d l15
L15 HAS NO ANSWERS
L15 STR



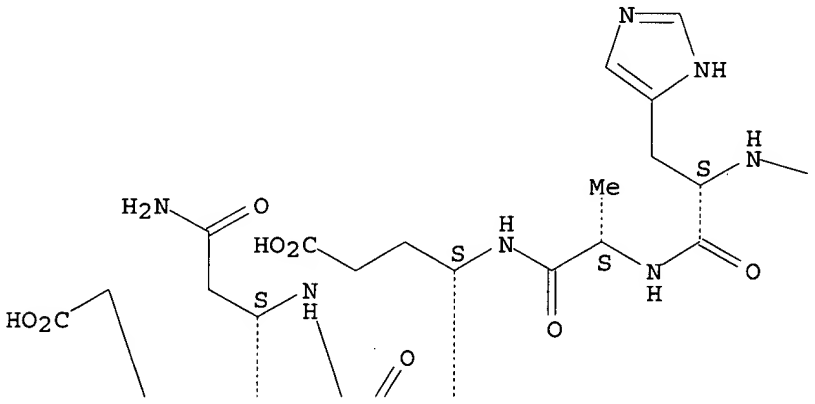
G1 OH,H
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

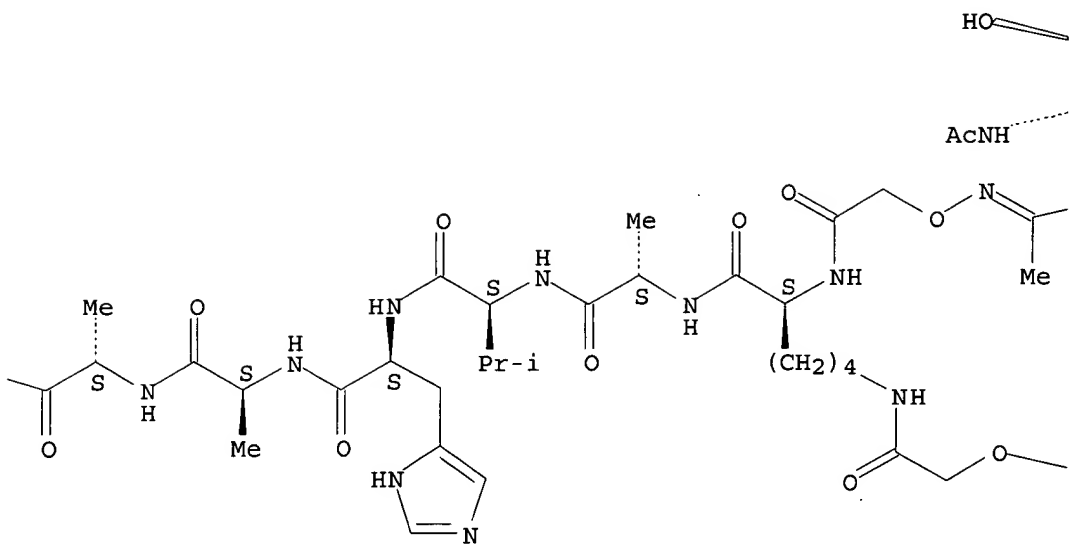
L16 1 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Glycine, N2,N6-bis[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-lysyl-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI)
SQL 14
MF C86 H136 N24 O34

RELATED SEQUENCES AVAILABLE WITH SEQLINK

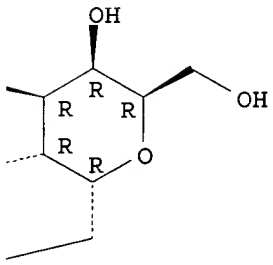
Absolute stereochemistry.
Double bond geometry unknown.

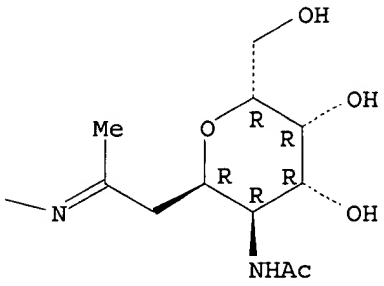


PAGE 1-B

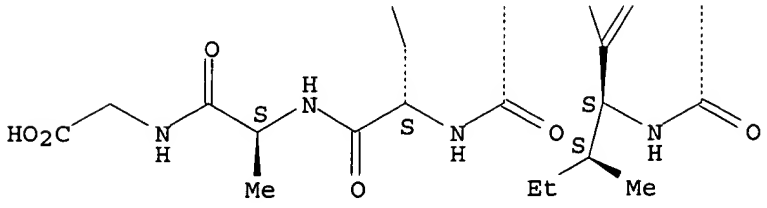


PAGE 1-C





PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> FIL CAPLUS MEDLINE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	4.00	629.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.30

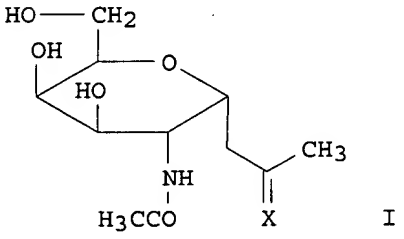
FILE 'CAPLUS' ENTERED AT 11:26:46 ON 09 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE 'MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003

=> s l16
L17 1 L16

=> d l17 1 ibib abs hitstr

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:170743 CAPLUS
DOCUMENT NUMBER: 137:79209
TITLE: Novel Tn antigen-containing neoglycopeptides: synthesis and evaluation as anti tumor vaccines
AUTHOR(S): Cipolla, Laura; Rescigno, Maria; Leone, Antonella; Peri, Francesco; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1639-1646
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:79209
GI



I

AB The fully unprotected .alpha.-C-glycosyl analog of N-acetylgalactosamine (I; X = O) was conjugated by a non-natural oxime bond to the segment peptides 328-340OVA and 327-339OVA, affording neoglycopeptides R-CH2C(O)-peptide-OH [II; R = I, X = N-, peptide = VHAAHAEINEAGRG: III; R = I, X = N-, peptide = AVHAAHAEINEAG: IV; R = I, X = N-, peptide =

Lys(R-CH2C(O))-AVHAAHAEINEAG], having one or two sugar units, resp. The three neoglycopeptides were tested in vitro in an antigen presentation assay as antitumor vaccines. Neoglycopeptides II-IV could be presented to and recognized by the T cell receptor; neoglycopeptide IV, bearing two B-epitopes, was presented to the TCR with higher efficiency, compared to neoglycopeptide III, having only one B-epitope.

IT **439901-99-2P**

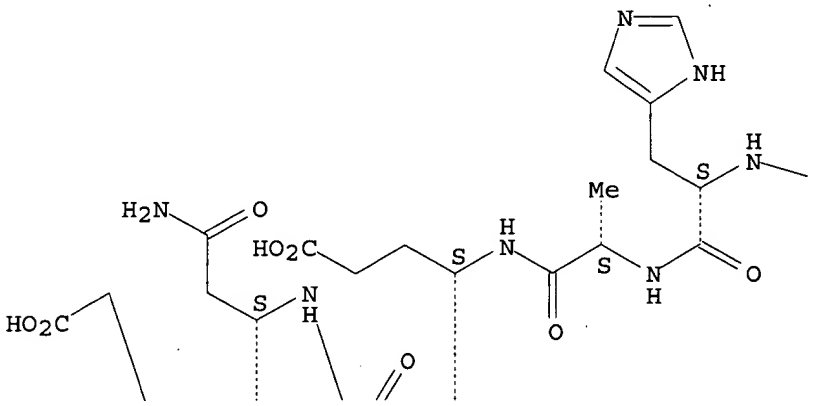
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and biol. evaluation of Tn- antigen-contg. neoglycopeptides as anti tumor vaccines)

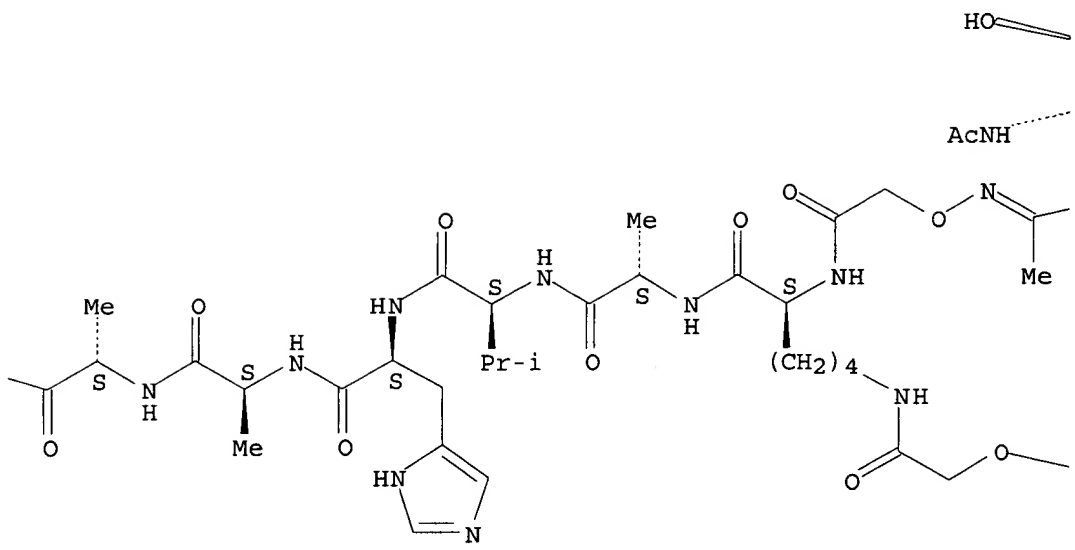
RN 439901-99-2 CAPLUS

CN Glycine, N2,N6-bis[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-lysyl-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

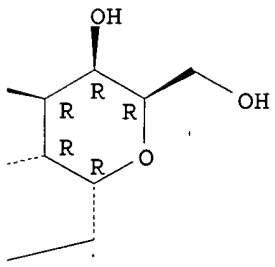
Absolute stereochemistry.
Double bond geometry unknown.

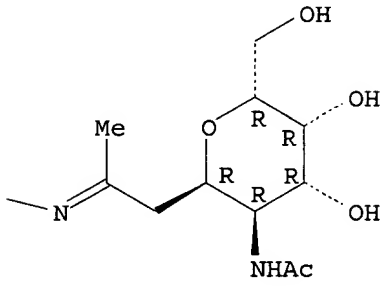


PAGE 1-B

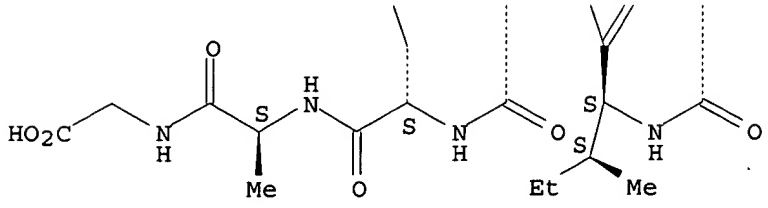


PAGE 1-C





PAGE 2-A



REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
d his

     (FILE 'HOME' ENTERED AT 10:43:54 ON 09 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003
L1                 STRUCTURE UPLOADED
L2              0 S L1 SSS SAM
L3              0 S L1 SSS FULL
L4                 STRUCTURE UPLOADED
L5              0 S L4 SSS SAM
L6              1 S L4 SSS FULL
L7                 STRUCTURE UPLOADED
L8              0 S L7 SSS SAM
L9              0 S L7 SSS FULL
L10                STRUCTURE UPLOADED
L11             0 S L10 SSS SAM
L12             2 S L10 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003
L13             2 S L12
L14                STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003
L15                STRUCTURE UPLOADED
L16             1 S L15 SSS SAM

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003
L17             1 S L16
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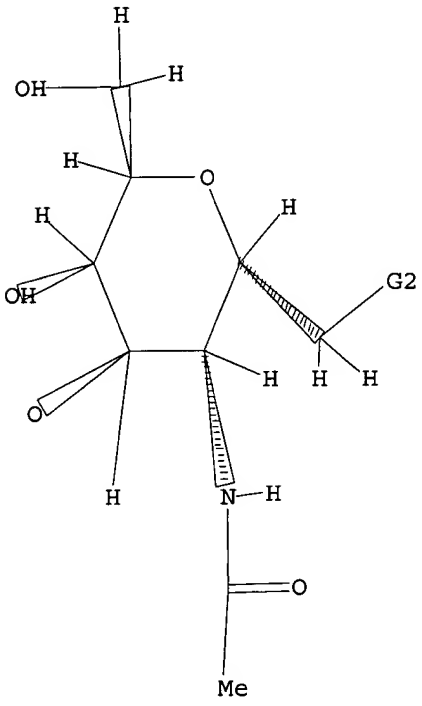
Uploading non-mucin-537j.str

L20 STRUCTURE UPLOADED

=> d l20
L20 HAS NO ANSWERS
L20 STR



G1 OH,H

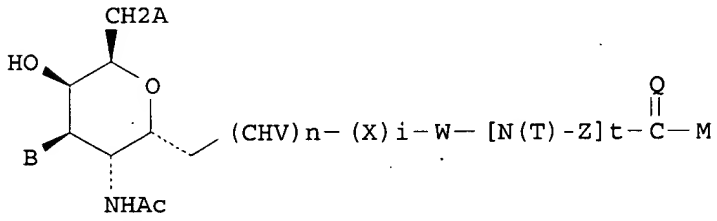
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

L24 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:226779 CAPLUS
DOCUMENT NUMBER: 136:232498
TITLE: Preparation of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents
INVENTOR(S): Tomiyama, Hiroshi; Ueyama, Naoto; Yanagiya, Masahiro; Ohkura, Yasufumi
PATENT ASSIGNEE(S): Kotobuki Pharmaceutical Co., Ltd., Japan
SOURCE: Fr. Demande, 90 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2812814	A1	20020215	FR 2001-10714	20010810
JP 2002275091	A2	20020925	JP 2001-234804	20010802
DE 10138935	A1	20020321	DE 2001-10138935	20010808
US 2002107224	A1	20020808	US 2001-925537	20010810
CN 1341595	A	20020327	CN 2001-132836	20010811
GB 2368580	A1	20020508	GB 2001-19717	20010813

PRIORITY APPLN. INFO.: JP 2000-244567 A 20000811
OTHER SOURCE(S): MARPAT 136:232498
GI



I

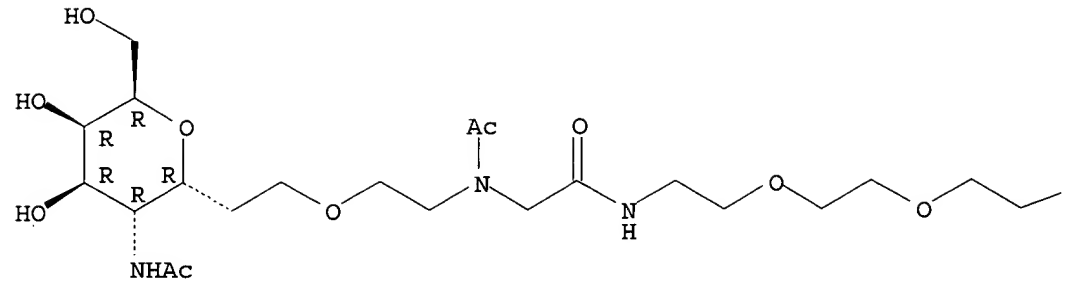
AB Sialo-oligosaccharides I wherein A is OH, sialic acid; B is galactose; T is H, amine; M is H, OH; X is O, NH, S, SO, SO2; Q is H, O; V is H, alkyl; W is alkylidene; Z is alkylidene; i, m, and t are 0-1, were prepd. as immunostimulants and antiviral and antitumor agents. Thus, 2-(2-acetylamino-2-deoxy-.alpha.-D-galactopyrano-1-yl)-1-[2-(N-{[N-(2-{2-[2-(3-sulfenylpropoxy)ethoxy]ethoxy}ethyl)carbamoyl]methyl}acetylamino)ethoxy]ethane was prepd. and tested in mice for IgG and IgM antibodies as vaccine immunostimulant and antiviral and antitumor agent.

IT **403613-70-7DP**, reaction products with hemocyanin KLH
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

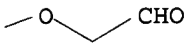
RN 403613-70-7 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,17-dioxo-9,12,15-trioxa-3,6-diazaheptadec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



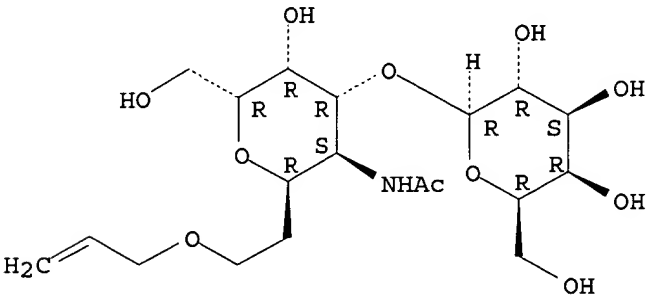
PAGE 1-B



IT **403613-61-6P 403613-73-0DP**, reaction products with hemocyanin KLH **403613-74-1DP**, reaction products with hemocyanin KLH **403613-75-2DP**, reaction products with hemocyanin KLH **403613-80-9DP**, reaction products with hemocyanin KLH
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

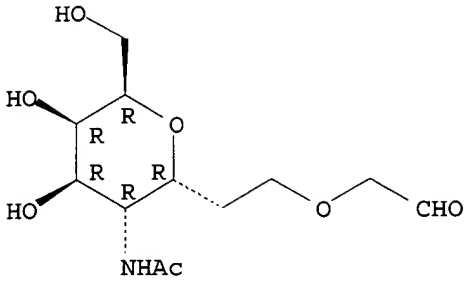
RN 403613-61-6 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7-dideoxy-4-O-.beta.-D-galactopyranosyl-8-O-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



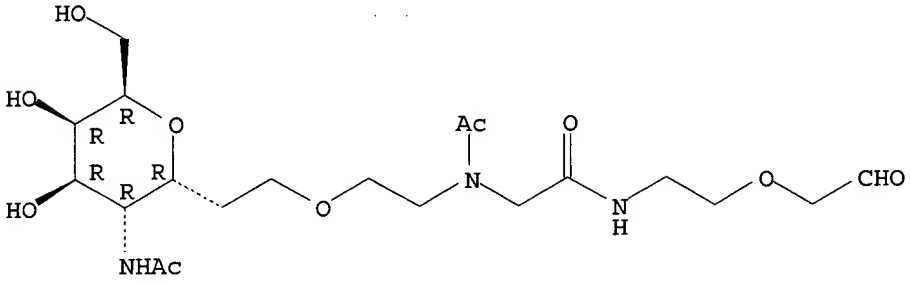
RN 403613-73-0 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7-dideoxy-8-O-(2-oxoethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403613-74-1 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-[2-[acetyl[2-oxo-2-[[2-(2-oxoethoxy)ethyl]amino]ethyl]amino]ethyl]-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

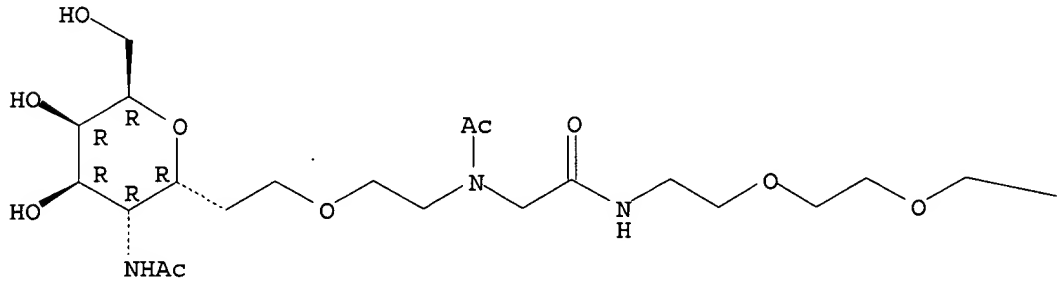
Absolute stereochemistry.



RN 403613-75-2 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,14-dioxo-9,12-dioxa-3,6-diazatetradec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



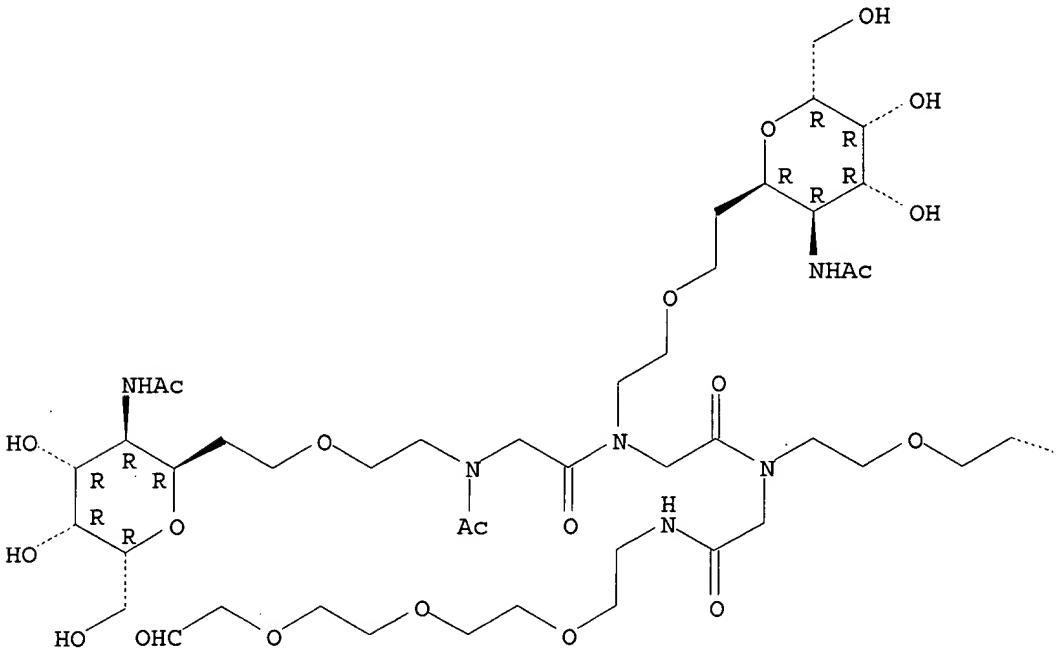
PAGE 1-B

RN 403613-80-9 CAPLUS

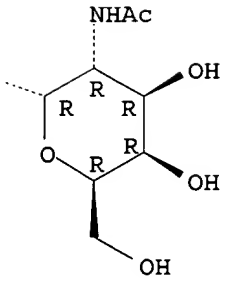
CN Glycinamide, N-acetyl-N-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]glycyl-N-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]glycyl-N2-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]-N-[2-[2-[2-(2-oxoethoxy)ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



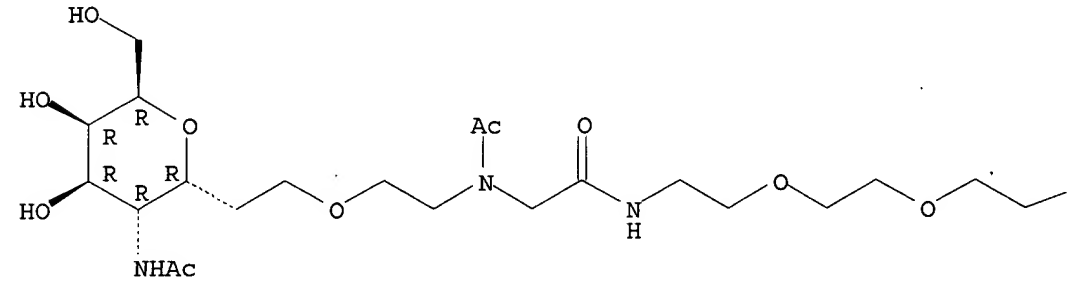
IT **403613-68-3P 403613-69-4P 403613-71-8P**
403613-72-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

RN 403613-68-3 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5-oxo-9,12,15-trioxa-3,6-diazaoctadec-17-en-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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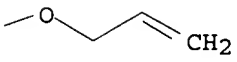
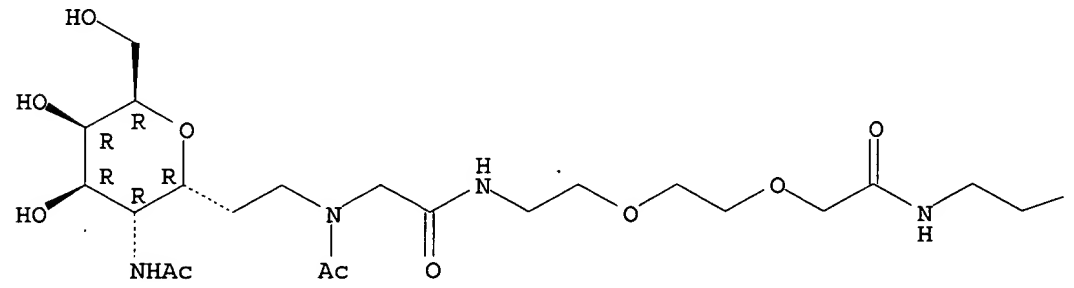


RN 403613-69-4 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-[acetyl[14-[[S-[2,3-bis[(1-oxoheptadecyl)oxy]propyl]-N-(1-oxohexadecyl)-L-cysteinyl-L-seryl]amino]-2,11-dioxo-6,9-dioxa-3,12-diazatetradec-1-yl]amino]-2,6-anhydro-5,7,8-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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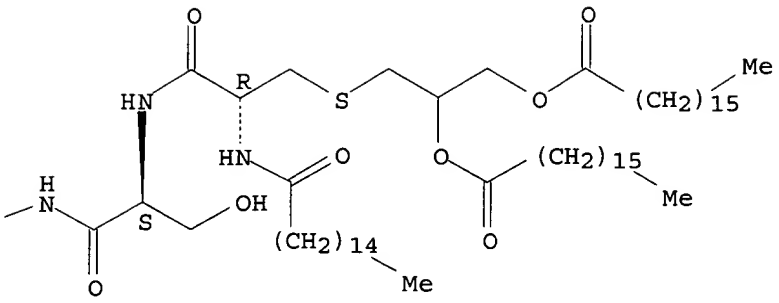
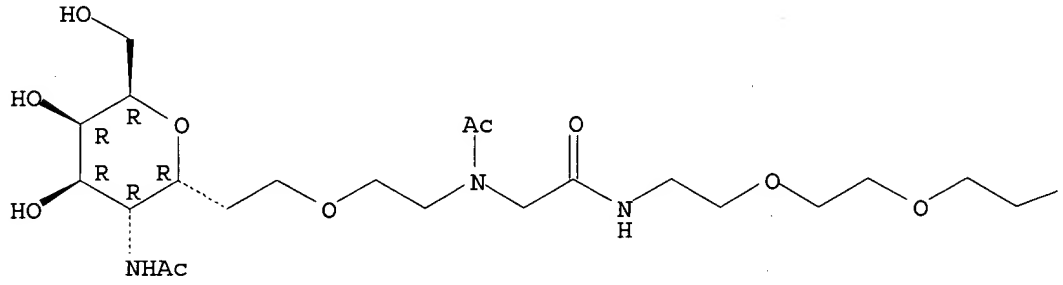


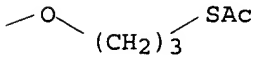
RN 403613-71-8 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,20-dioxo-9,12,15-trioxa-19-thia-3,6-diazaheneicos-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



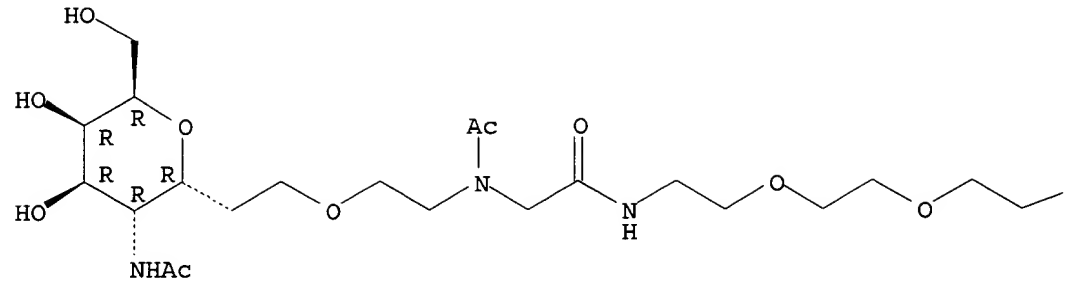
PAGE 1-B



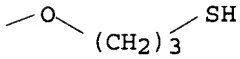
RN 403613-72-9 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-18-mercapto-5-oxo-9,12,15-trioxa-3,6-diazaoctadec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

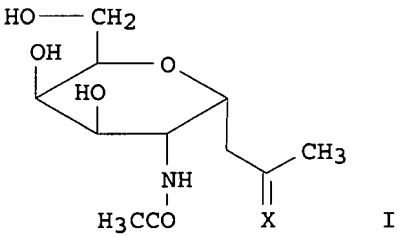
PAGE 1-A



PAGE 1-B



L24 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:170743 CAPLUS
DOCUMENT NUMBER: 137:79209
TITLE: Novel Tn antigen-containing neoglycopeptides: synthesis and evaluation as anti tumor vaccines
AUTHOR(S): Cipolla, Laura; Rescigno, Maria; Leone, Antonella; Peri, Francesco; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1639-1646
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:79209
GI



I

AB The fully unprotected .alpha.-C-glycosyl analog of N-acetylgalactosamine (I; X = O) was conjugated by a non-natural oxime bond to the segment peptides 328-340OVA and 327-339OVA, affording neoglycopeptides R-CH2C(O)-peptide-OH [II; R = I, X = N-, peptide = VHAAHAEINEAGRG: III; R = I, X = N-, peptide = AVHAAHAEINEAG: IV; R = I, X = N-, peptide = Lys(R-CH2C(O))-AVHAAHAEINEAG], having one or two sugar units, resp. The

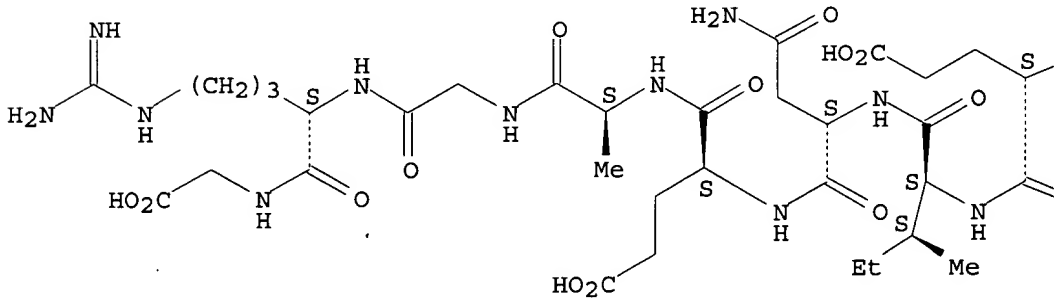
three neoglycopeptides were tested in vitro in an antigen presentation assay as antitumor vaccines. Neoglycopeptides II-IV could be presented to and recognized by the T cell receptor; neoglycopeptide IV, bearing two B-epitopes, was presented to the TCR with higher efficiency, compared to neoglycopeptide III, having only one B-epitope.

IT **345201-54-9P 439901-97-0P 439901-99-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. evaluation of Tn- antigen-contg. neoglycopeptides as anti tumor vaccines)

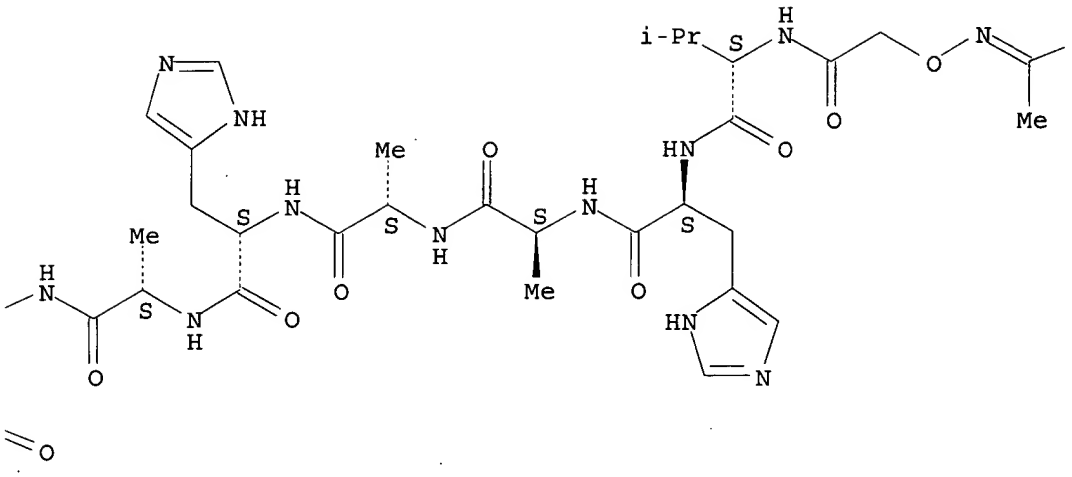
RN 345201-54-9 CAPLUS

CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

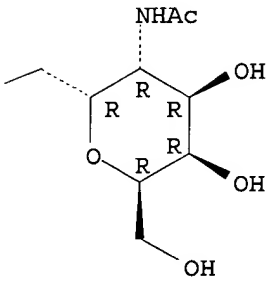
Absolute stereochemistry.
Double bond geometry unknown.



PAGE 1-B



PAGE 1-C

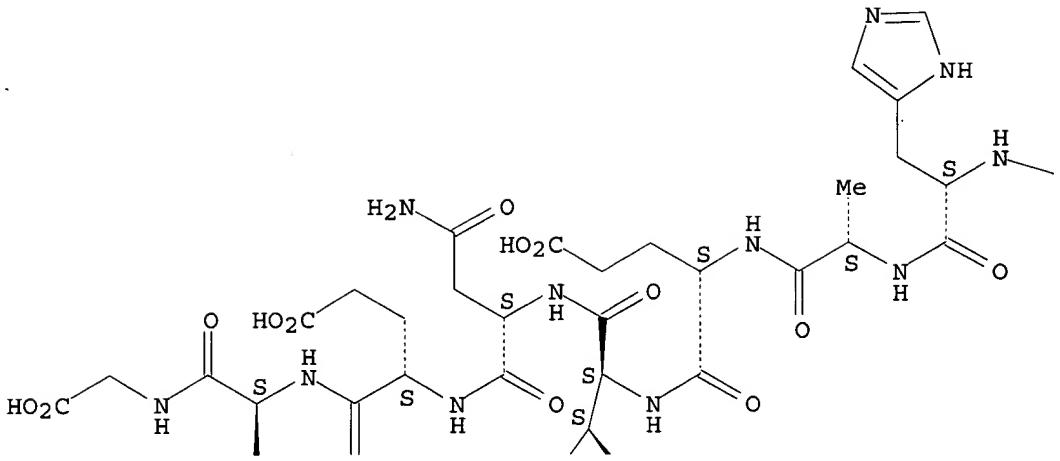


RN 439901-97-0 CAPLUS

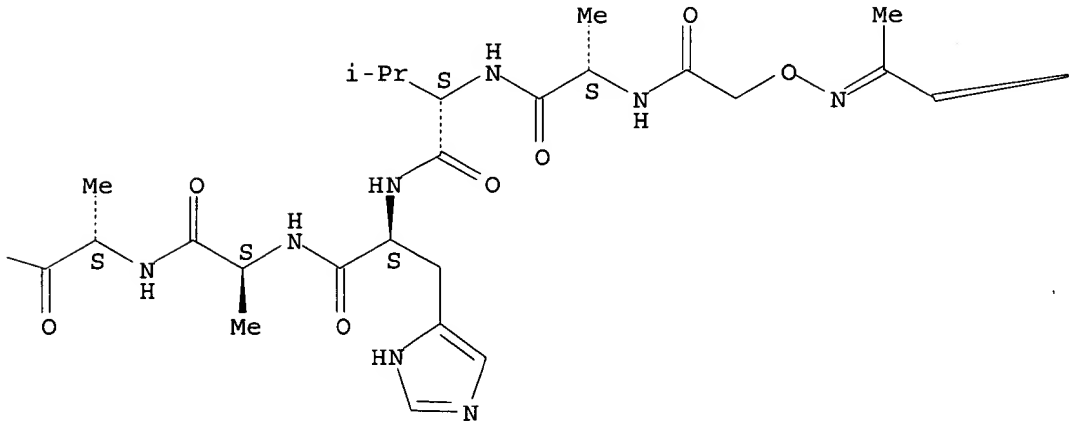
CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

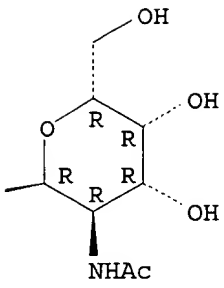
PAGE 1-A



PAGE 1-B



PAGE 1-C

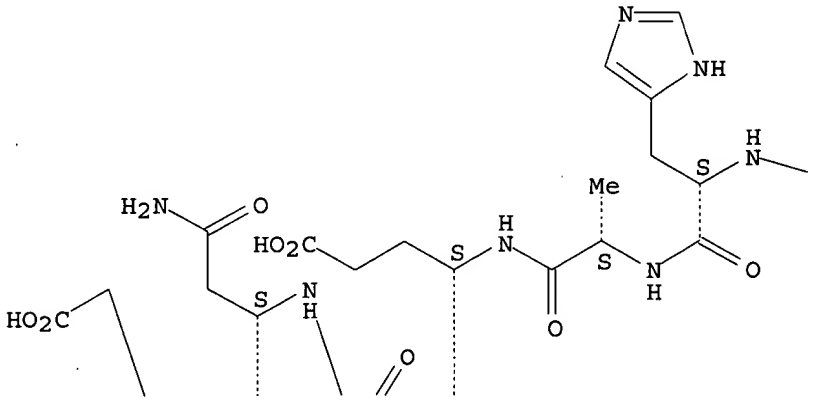


PAGE 2-A

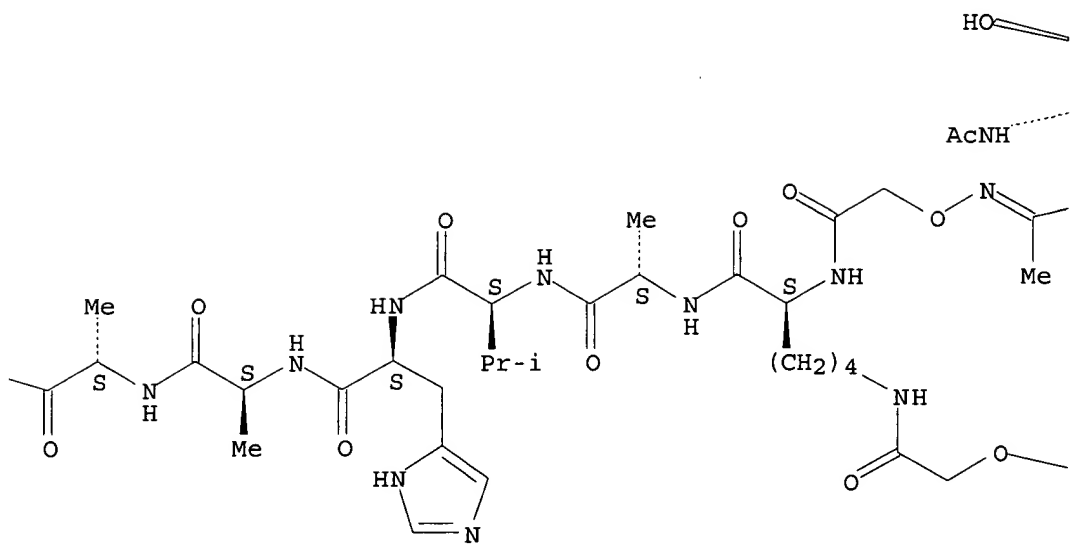
RN 439901-99-2 CAPLUS
CN Glycine, N2,N6-bis[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-lysyl-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

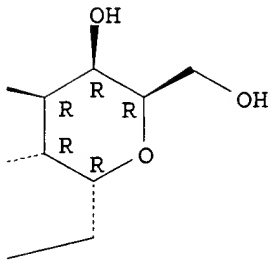
PAGE 1-A

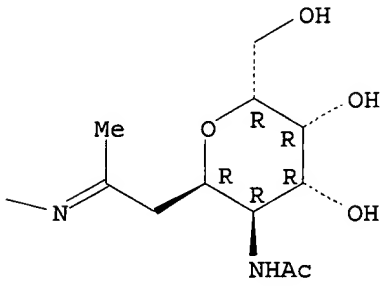


PAGE 1-B

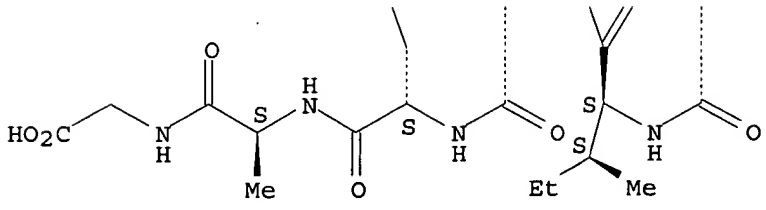


PAGE 1-C



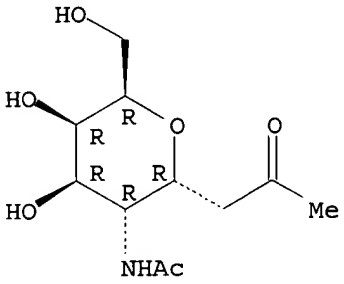


PAGE 2-A



IT **271246-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and biol. evaluation of Tn- antigen-contg. neoglycopeptides as anti tumor vaccines)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

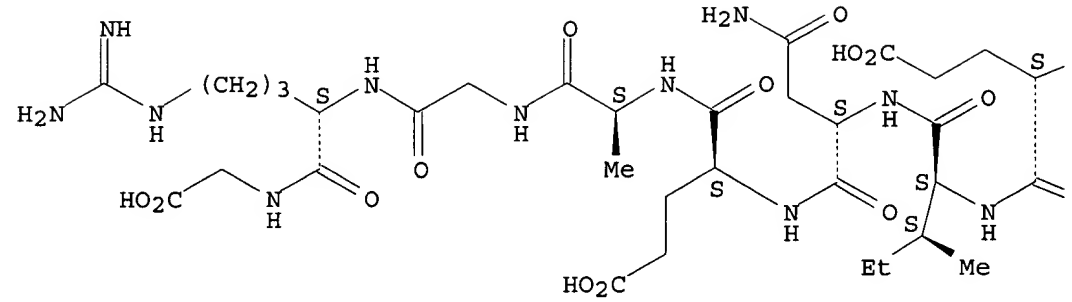


REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

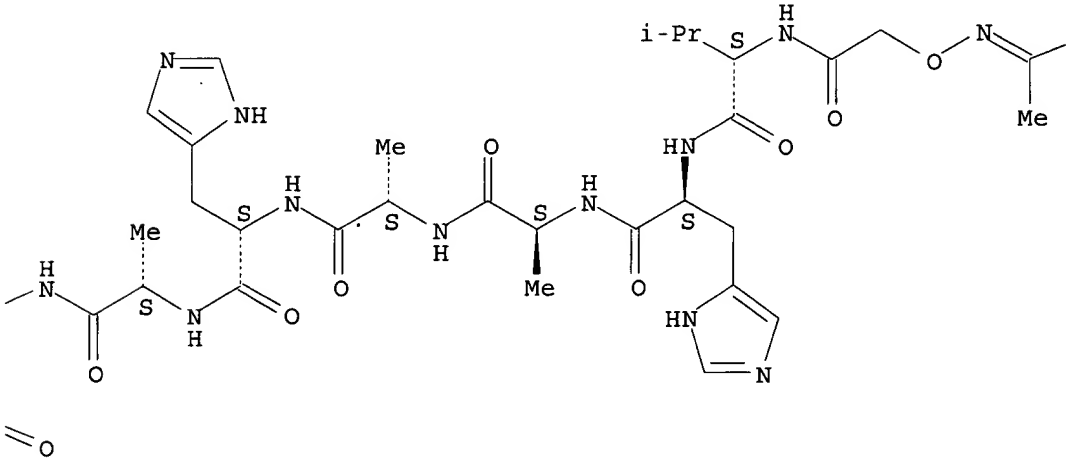
L24 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:260583 CAPLUS
DOCUMENT NUMBER: 135:44926
TITLE: Synthesis and Biological Evaluation of an Anticancer Vaccine Containing the C-Glycoside Analogue of the Tn Epitope
AUTHOR(S): Peri, Francesco; Cipolla, Laura; Rescigno, Maria; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, University of Milano-Bicocca, Milan, I-20126, Italy
SOURCE: Bioconjugate Chemistry (2001), 12(3), 325-328
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The C-saccharide analog of the GalNAc (Tn epitope) has been covalently linked to the T cell epitope peptide 328-340OVA using a chemoselective convergent synthetic approach. In this way, a non-hydrolyzable synthetic vaccine was obtained composed by a B epitope conjugated to a T cell epitope. This compd. was tested in a proliferation assay with spleen cells from DO11.10 mice. The mol. was recognized by transgenic T cells although at a slightly lower efficiency if compared with the ref. peptide OVA. An addnl. expt. with dendritic cells fixed with glutaraldehyde shows that the glycopeptide can bind to extracellular MHC mols. without need of internalization and processing and that the C-glycoside part does not interfere with TCR recognition. These observations constitute an important starting point for the use of this mol. as vaccine against the Tn-expressing TA3-Ha mouse mammary carcinoma.
IT **345201-54-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. evaluation of an anticancer vaccine contg. the C-Glycoside analog of the Tn epitope)
RN 345201-54-9 CAPLUS
CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

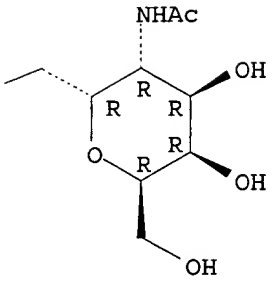
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



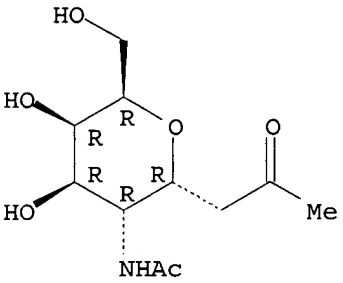
PAGE 1-B





IT **271246-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and biol. evaluation of an anticancer vaccine contg. the C-Glycoside analog of the Tn epitope)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

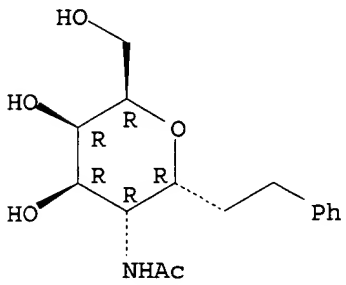


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:792850 CAPLUS
DOCUMENT NUMBER: 134:101106
TITLE: Radical-Mediated Synthesis of .alpha.-C-Glycosides Based on N-Acyl Galactosamine
AUTHOR(S): SanMartin, Raul; Tavassoli, Bahareh; Walsh, Kenneth E.; Walter, Daryl S.; Gallagher, Timothy
CORPORATE SOURCE: School of Chemistry, University of Bristol, Bristol, BS8 1TS, UK
SOURCE: Organic Letters (2000), 2(25), 4051-4054
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:101106
AB C-Glycosides of N-acyl 2-amino-2-deoxygalactose (acyl = MeCO, CF3CO, t-BuOCO) are available in a stereoselective manner by trapping of an anomeric radical with an activated alkene. Using anomeric selenides, radical generation and trapping is carried out under conditions that avoid competitive redn., and this chem. has been applied to the synthesis of the novel C-glycoside analog of O-benzyl .alpha.-D-GalNAc.
IT **317816-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of .alpha.-C-glycosides similar to N-acyl galactosamine via a radical mediated stereoselective glycosylation)
RN 317816-97-0 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7,8-trideoxy-8-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

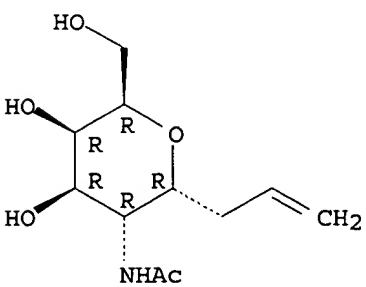
L24 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:184011 CAPLUS
DOCUMENT NUMBER: 133:4858
TITLE: Stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine
AUTHOR(S): Cipolla, Laura; La Ferla, Barbara; Lay, Luigi; Peri, Francesco; Nicotra, Francesco
CORPORATE SOURCE: Dipartimento di Biotecnologie e Bioscienze, Dipartimento di Biotecnologie e Bioscienze, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 295-303
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:4858

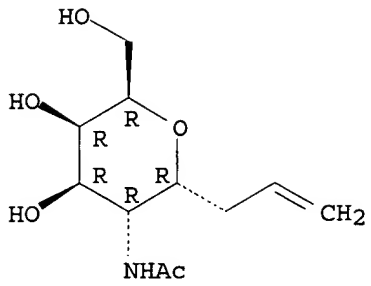
AB Attempts to synthesize .alpha.-C-glycosides of N-acetylgalactosamine by selective deprotection at C-2' of allyl .alpha.-C-galactoside and subsequent amination failed, but opened the way to .alpha.-C-talopyranosides. The synthesis of .alpha.-C-glycosides of N-acetylgalactosamine was performed from allyl .alpha.-C-glucopyranoside, which was regioselectively deprotected, stereoselectively aminated at C-2', and finally epimerized at C-4'.

IT **271246-14-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and conversion of allyl function to Me ketone; stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine)

RN 271246-14-1 CAPLUS
CN D-glycero-L-galacto-Non-8-enitol, 5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy- (9CI) (CA INDEX NAME)

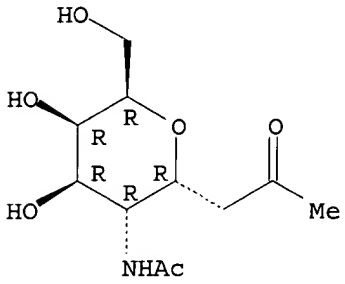
Absolute stereochemistry.





IT **271246-07-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

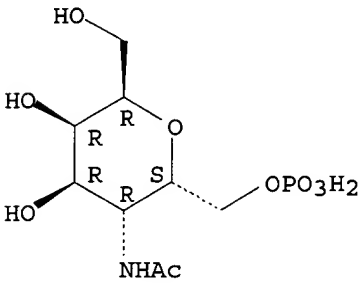


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:792651 CAPLUS
DOCUMENT NUMBER: 132:208073
TITLE: Synthesis of Novel Donor Mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as Potential Transferase Inhibitors
AUTHOR(S): Schaefer, Andreas; Thiem, Joachim
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Hamburg, Hamburg, D-20146, Germany
SOURCE: Journal of Organic Chemistry (2000), 65(1), 24-29
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB For the enzymic transfer of galactose, N-acetylglucosamine, and N-acetylgalactosamine, UDP-Gal, UDP-GlcNAc, and UDP-GalNAc are employed, and UDP serves as a feedback inhibitor. In this paper the synthesis of the novel UDP-sugar analogs as potential transferase inhibitors is described. UDP-sugar analogs feature C-glycosidic hydroxymethylene linkages between the sugar and nucleoside moieties in contrast to the anomeric oxygens in the natural derivs.
IT **260551-16-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of donor mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as potential transferase inhibitors)
RN 260551-16-4 CAPLUS

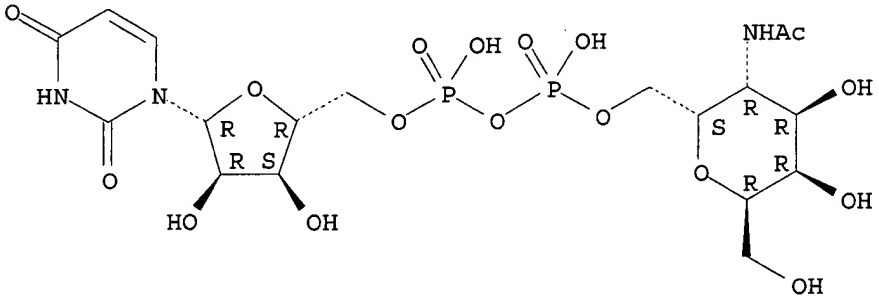
CN D-glycero-L-galacto-Heptitol, 5-(acetylamino)-2,6-anhydro-5-deoxy-, 7-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



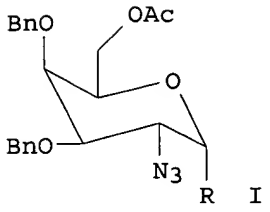
IT **260551-04-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of donor mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as potential transferase inhibitors)
RN 260551-04-0 CAPLUS
CN Uridine 5'-(trihydrogen diphosphate), P'.fwdarw.7-ester with 5-(acetylamino)-2,6-anhydro-5-deoxy-D-glycero-L-galacto-heptitol (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:112881 CAPLUS
DOCUMENT NUMBER: 122:161118
TITLE: Synthesis of .alpha.-C-glycopyranosides of D-galactosamine and D-glucosamine via iodocyclization of corresponding glycals and silver tetrafluoroboranuide-promoted alkynylation at the anomeric center
AUTHOR(S): Leteux, Christine; Veyrieres, Alain
CORPORATE SOURCE: UFR-Fac. Sci., Univ. Orleans, Orleans, 45067, Fr.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (18), 2647-55
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:161118
GI



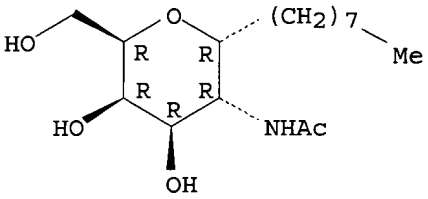
AB Iodointramol cyclocondensation of O-stannylated D-galactal followed by azidolysis gave 1,6-anhydro-2-azido-2-deoxy-.beta.-D-galactopyranose. Transformation into bromide I (R = Br) allowed coupling of various alkynyltributylstannanes in the presence of silver tetrafluoroboranuide (silver tetrafluoroborate), thus affording the corresponding .alpha.,.beta.-C-(D-galactopyranosyl)alkynes, e.g. I (R = C.tplbond.CPh).

IT **161254-84-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of acetamidodeoxy C-glycopyranosides via iodination-cycloaddn. of glycals and silver tetrafluoroborate promoted C-alkynylation)

RN 161254-84-8 CAPLUS

CN Acetamide, N-[tetrahydro-4,5-dihydroxy-6-(hydroxymethyl)-2-octyl-2H-pyran-3-yl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.beta.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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     FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003
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L3           0 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5           0 S L4 SSS SAM
L6           1 S L4 SSS FULL
L7             STRUCTURE UPLOADED
L8           0 S L7 SSS SAM
L9           0 S L7 SSS FULL
L10            STRUCTURE UPLOADED
L11          0 S L10 SSS SAM
L12          2 S L10 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003
L13          2 S L12
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     FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003
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L16          1 S L15 SSS SAM

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L17          1 S L16

     FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:32:35
     ON 09 SEP 2003
L18          2 S L16
L19          2 DUP REM L18 (0 DUPLICATES REMOVED)

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L20            STRUCTURE UPLOADED
L21          1 S L20 SSS SAM
L22         19 S L20 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:46:02 ON 09 SEP 2003
L23          7 S L22
L24          7 DUP REM L23 (0 DUPLICATES REMOVED)
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L28 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:226779 CAPLUS
DOCUMENT NUMBER: 136:232498
TITLE: Preparation of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents
INVENTOR(S): Tomiyama, Hiroshi; Ueyama, Naoto; Yanagiya, Masahiro; Ohkura, Yasufumi
PATENT ASSIGNEE(S): Kotobuki Pharmaceutical Co., Ltd., Japan
SOURCE: Fr. Demande, 90 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2812814	A1	20020215	FR 2001-10714	20010810
JP 2002275091	A2	20020925	JP 2001-234804	20010802
DE 10138935	A1	20020321	DE 2001-10138935	20010808
US 2002107224	A1	20020808	US 2001-925537	20010810
CN 1341595	A	20020327	CN 2001-132836	20010811
GB 2368580	A1	20020508	GB 2001-19717	20010813

PRIORITY APPLN. INFO.: JP 2000-244567 A 20000811
OTHER SOURCE(S): MARPAT 136:232498
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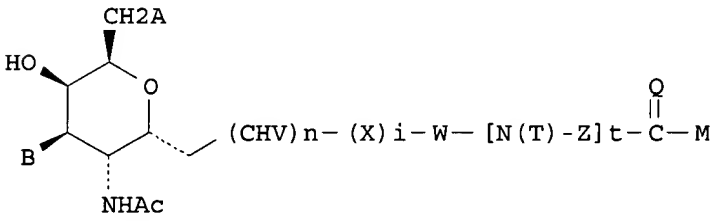


I

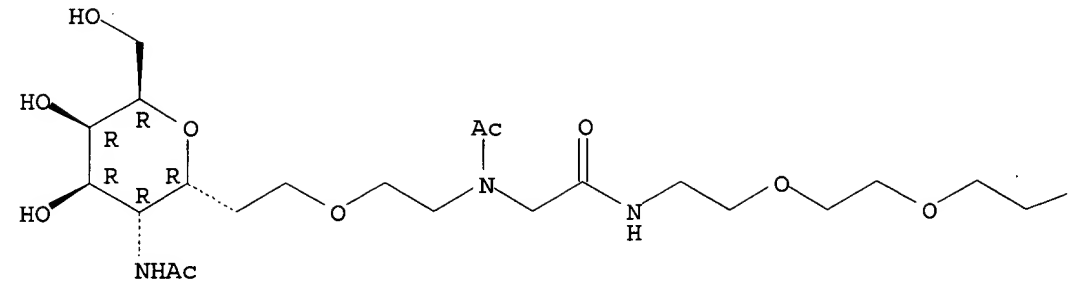
AB Sialo-oligosaccharides I wherein A is OH, sialic acid; B is galactose; T is H, amine; M is H, OH; X is O, NH, S, SO, SO2; Q is H, O; V is H, alkyl; W is alkylidene; Z is alkylidene; i, m, and t are 0-1, were prepd. as immunostimulants and antiviral and antitumor agents. Thus, 2-(2-acetylamino-2-deoxy-.alpha.-D-galactopyrano-1-yl)-1-[2-(N-{[N-(2-{2-[2-(3-sulfenylpropoxy)ethoxy]ethoxy}ethyl)carbamoyl]methyl}acetylamino)ethoxy]ethane was prepd. and tested in mice for IgG and IgM antibodies as vaccine immunostimulant and antiviral and antitumor agent.

IT **403613-70-7DP**, reaction products with hemocyanin KLH
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

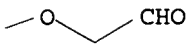
RN 403613-70-7 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,17-dioxo-9,12,15-trioxa-3,6-diazaheptadec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

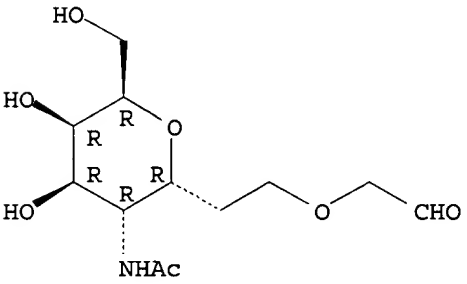


IT **403613-73-0DP**, reaction products with hemocyanin KLH
403613-74-1DP, reaction products with hemocyanin KLH
403613-75-2DP, reaction products with hemocyanin KLH
403613-80-9DP, reaction products with hemocyanin KLH
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

RN 403613-73-0 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7-dideoxy-8-O-(2-oxoethyl)- (9CI) (CA INDEX NAME)

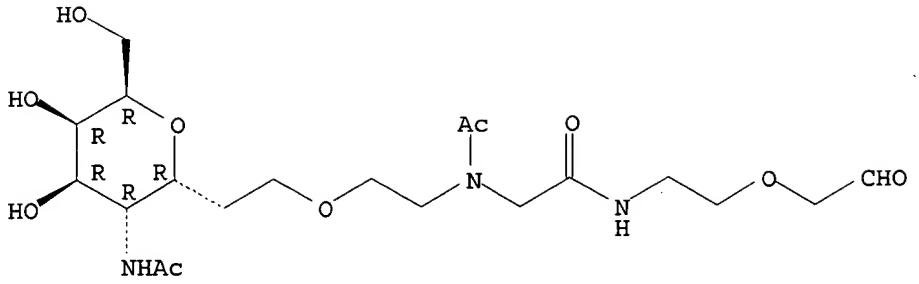
Absolute stereochemistry.



RN 403613-74-1 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-[2-[acetyl[2-oxo-2-[[2-(2-oxoethoxy)ethyl]amino]ethyl]amino]ethyl]-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

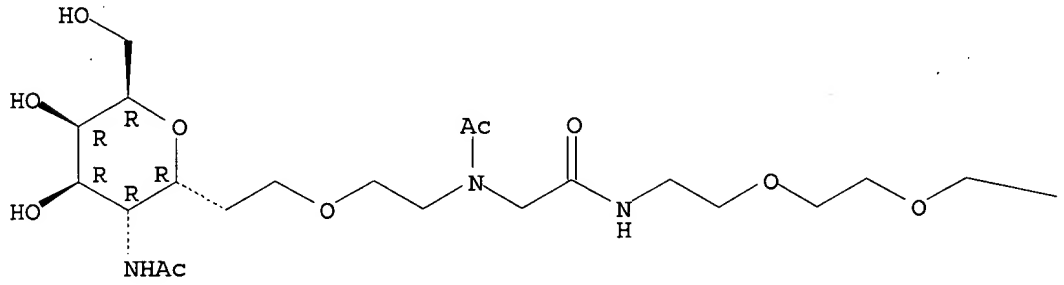
Absolute stereochemistry.



RN 403613-75-2 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,14-dioxo-9,12-dioxa-3,6-diazatetradec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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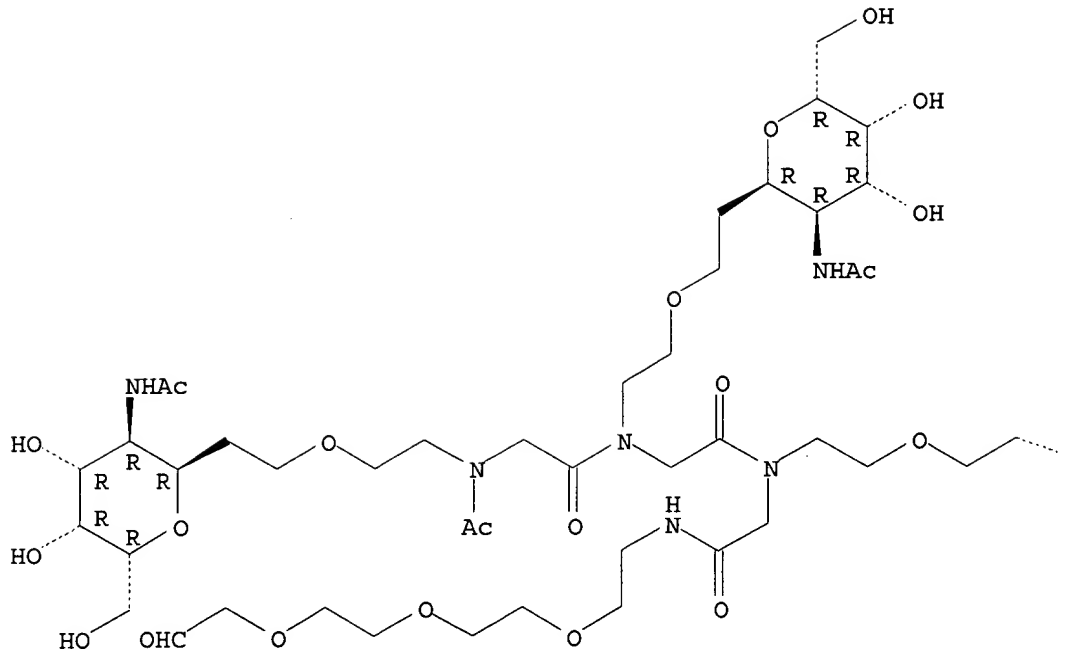
RN 403613-80-9 CAPLUS
CN Glycinamide, N-acetyl-N-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]glycyl-N-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]glycyl-N2-[2-[5-(acetylamino)-2,6-anhydro-5,7-dideoxy-D-glycero-L-galacto-octitol-8-O-yl]ethyl]-N-[2-[2-[2-(2-oxoethoxy)ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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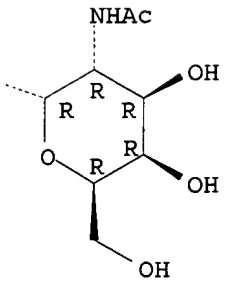


IT **403613-68-3P 403613-69-4P 403613-71-8P**
403613-72-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of non-mucine-type sialo-oligosaccharide monoclonal antibodies as immunostimulants and antiviral and antitumor agents)

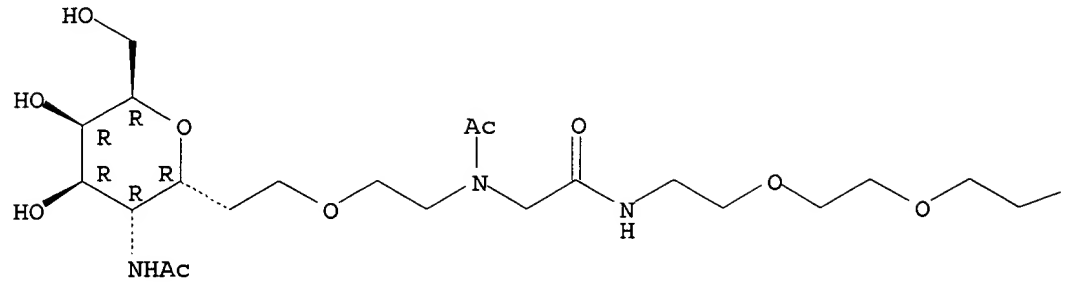
RN 403613-68-3 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5-oxo-9,12,15-trioxa-3,6-diazaoctadec-17-en-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA

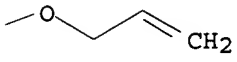
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

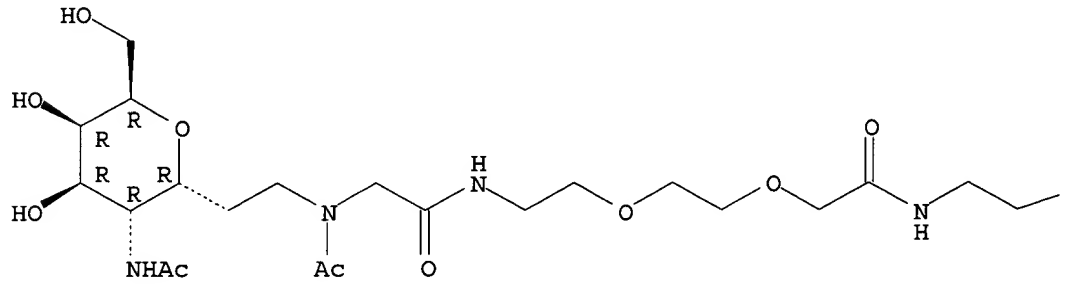


RN 403613-69-4 CAPLUS

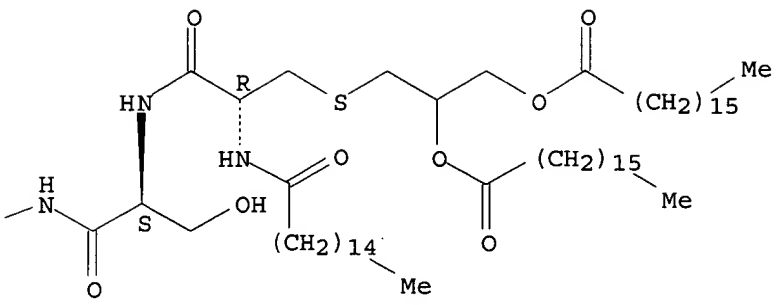
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-[acetyl[14-[[S-[2,3-bis[(1-oxoheptadecyl)oxy]propyl]-N-(1-oxohexadecyl)-L-cysteinyl-L-seryl]amino]-2,11-dioxo-6,9-dioxa-3,12-diazatetradec-1-yl]amino]-2,6-anhydro-5,7,8-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



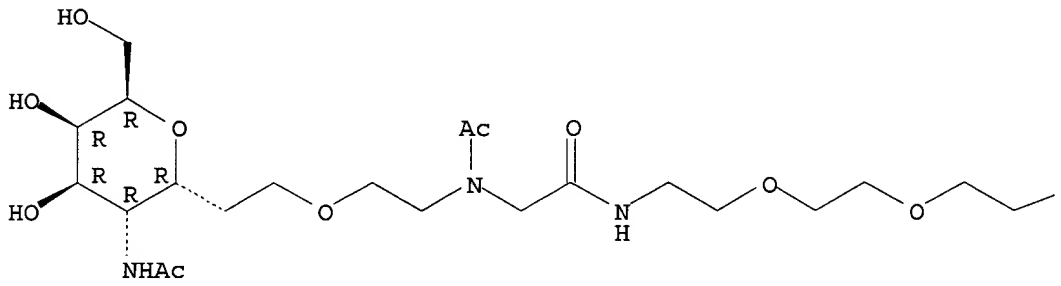
PAGE 1-B



RN 403613-71-8 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-5,20-dioxo-9,12,15-trioxa-19-thia-3,6-diazaheneicos-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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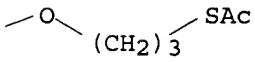
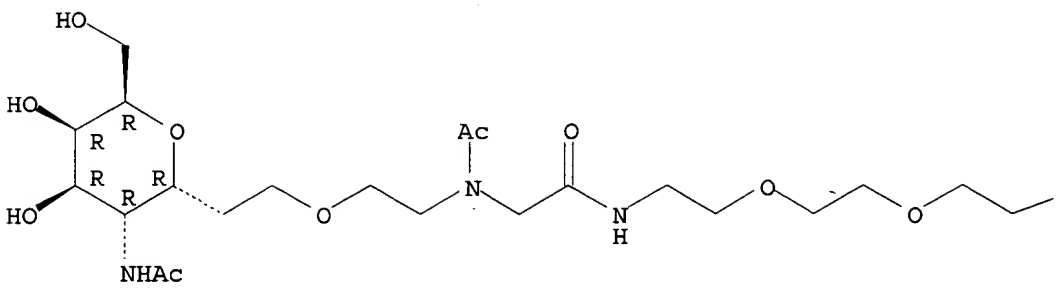


RN 403613-72-9 CAPLUS
CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-8-O-(3-acetyl-18-mercapto-5-oxo-9,12,15-trioxa-3,6-diazaoctadec-1-yl)-2,6-anhydro-5,7-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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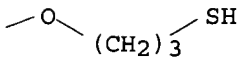
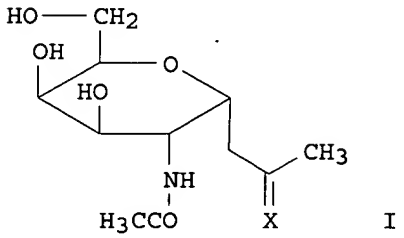


L28 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:170743 CAPLUS
DOCUMENT NUMBER: 137:79209
TITLE: Novel Tn antigen-containing neoglycopeptides: synthesis and evaluation as anti tumor vaccines
AUTHOR(S): Cipolla, Laura; Rescigno, Maria; Leone, Antonella; Peri, Francesco; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1639-1646
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:79209
GI



AB The fully unprotected .alpha.-C-glycosyl analog of N-acetylgalactosamine (I; X = O) was conjugated by a non-natural oxime bond to the segment peptides 328-340OVA and 327-339OVA, affording neoglycopeptides R-CH2C(O)-peptide-OH [II; R = I, X = N-, peptide = VHAAHAEINEAGRG: III; R = I, X = N-, peptide = AVHAAHAEINEAG: IV; R = I, X = N-, peptide = Lys(R-CH2C(O))-AVHAAHAEINEAG], having one or two sugar units, resp. The

three neoglycopeptides were tested in vitro in an antigen presentation assay as antitumor vaccines. Neoglycopeptides II-IV could be presented to and recognized by the T cell receptor; neoglycopeptide IV, bearing two B-epitopes, was presented to the TCR with higher efficiency, compared to neoglycopeptide III, having only one B-epitope.

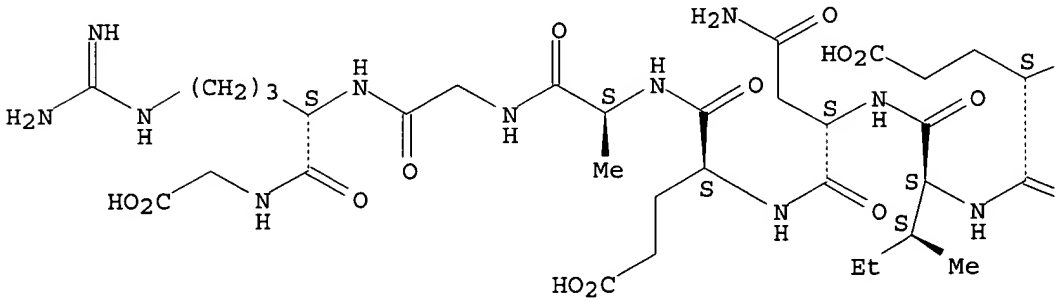
IT **345201-54-9P 439901-97-0P 439901-99-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. evaluation of Tn- antigen-contg. neoglycopeptides as anti tumor vaccines)

RN 345201-54-9 CAPLUS

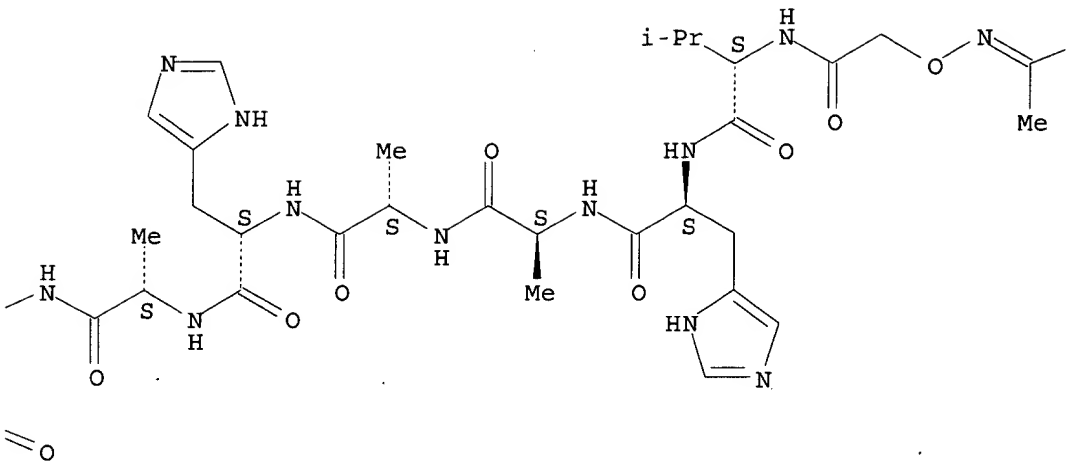
CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



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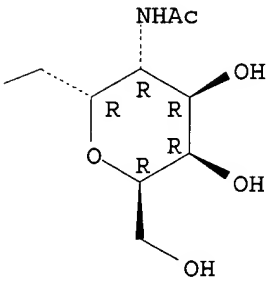
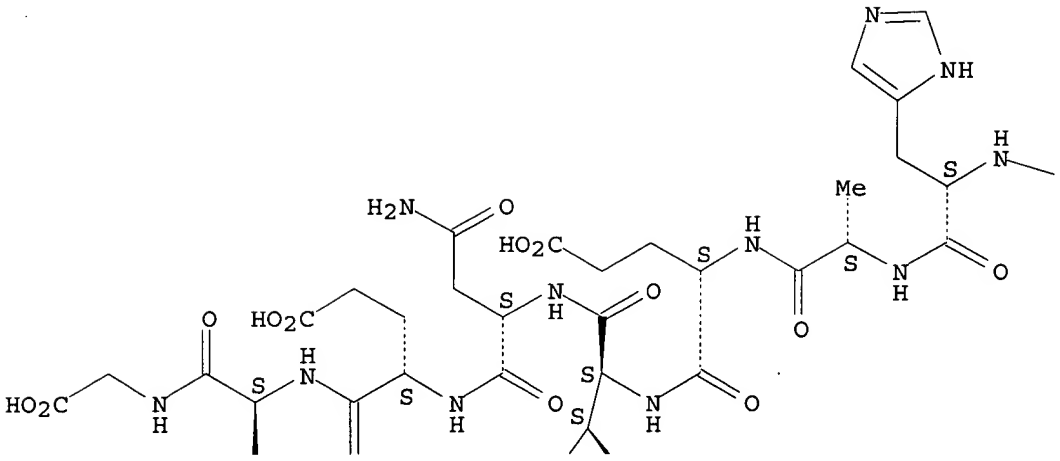


RN 439901-97-0 CAPLUS
CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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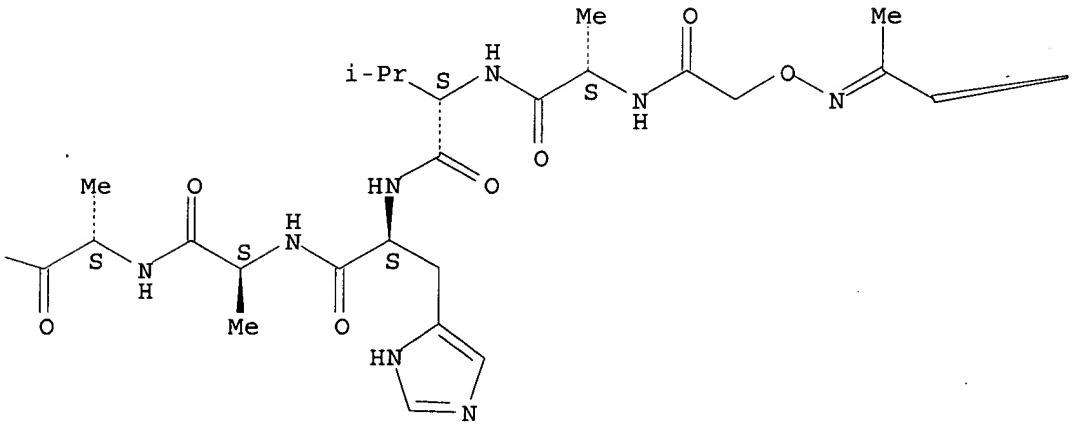

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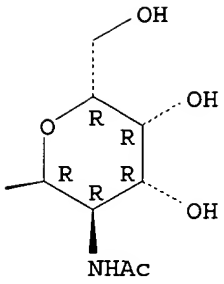
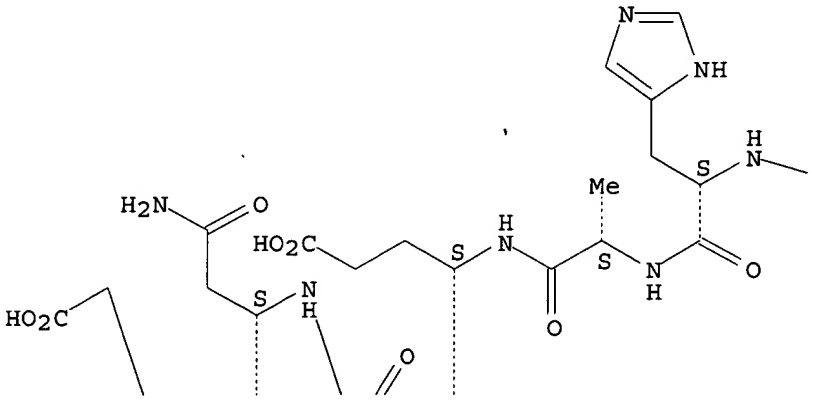


PAGE 2-A

RN 439901-99-2 CAPLUS
CN Glycine, N2,N6-bis[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-lysyl-L-alanyl-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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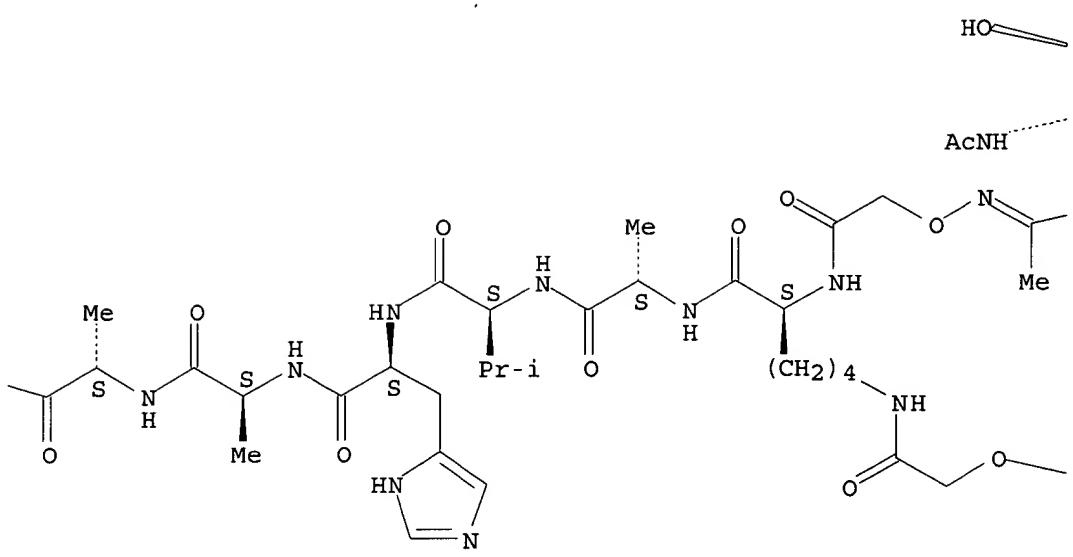

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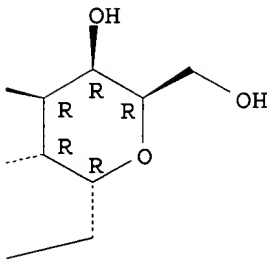

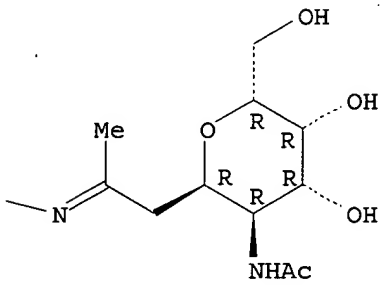

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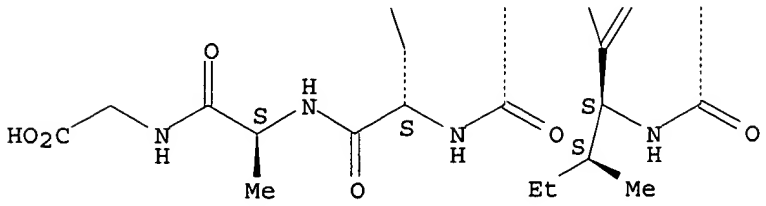
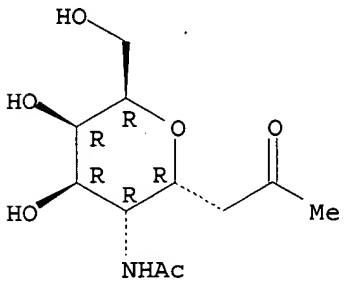


IT **271246-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and biol. evaluation of Tn- antigen-contg. neoglycopeptides as anti tumor vaccines)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

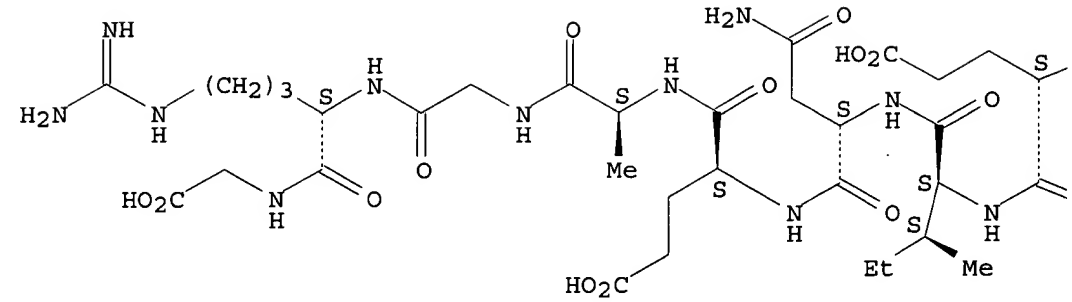


REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

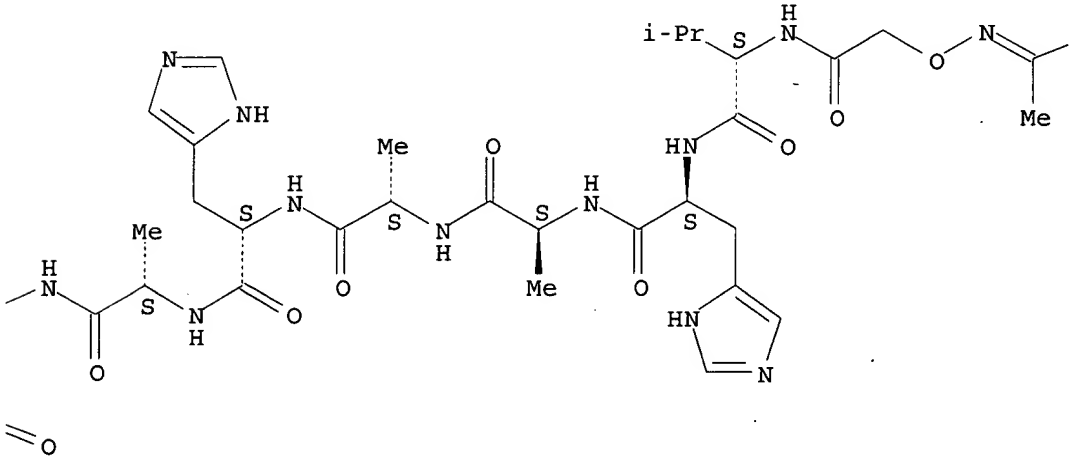
L28 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:260583 CAPLUS
DOCUMENT NUMBER: 135:44926
TITLE: Synthesis and Biological Evaluation of an Anticancer Vaccine Containing the C-Glycoside Analogue of the Tn Epitope
AUTHOR(S): Peri, Francesco; Cipolla, Laura; Rescigno, Maria; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, University of Milano-Bicocca, Milan, I-20126, Italy
SOURCE: Bioconjugate Chemistry (2001), 12(3), 325-328
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The C-saccharide analog of the GalNAc (Tn epitope) has been covalently linked to the T cell epitope peptide 328-340OVA using a chemoselective convergent synthetic approach. In this way, a non-hydrolyzable synthetic vaccine was obtained composed by a B epitope conjugated to a T cell epitope. This compd. was tested in a proliferation assay with spleen cells from DO11.10 mice. The mol. was recognized by transgenic T cells although at a slightly lower efficiency if compared with the ref. peptide OVA. An addnl. expt. with dendritic cells fixed with glutaraldehyde shows that the glycopeptide can bind to extracellular MHC mols. without need of internalization and processing and that the C-glycoside part does not interfere with TCR recognition. These observations constitute an important starting point for the use of this mol. as vaccine against the Tn-expressing TA3-Ha mouse mammary carcinoma.
IT **345201-54-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. evaluation of an anticancer vaccine contg. the C-Glycoside analog of the Tn epitope)
RN 345201-54-9 CAPLUS
CN Glycine, N-[[[[5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy-D-glycero-L-galacto-nonitol-8-ylidene]amino]oxy]acetyl]-L-valyl-L-histidyl-L-alanyl-L-alanyl-L-histidyl-L-alanyl-L-.alpha.-glutamyl-L-isoleucyl-L-asparaginyl-L-.alpha.-glutamyl-L-alanylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

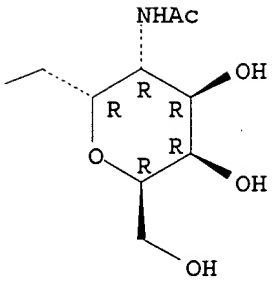
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



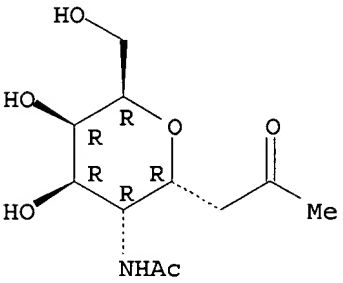
PAGE 1-B





IT **271246-07-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and biol. evaluation of an anticancer vaccine contg. the C-Glycoside analog of the Tn epitope)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

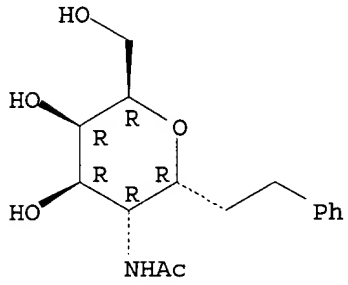


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:792850 CAPLUS
DOCUMENT NUMBER: 134:101106
TITLE: Radical-Mediated Synthesis of .alpha.-C-Glycosides Based on N-Acyl Galactosamine
AUTHOR(S): SanMartin, Raul; Tavassoli, Bahareh; Walsh, Kenneth E.; Walter, Daryl S.; Gallagher, Timothy
CORPORATE SOURCE: School of Chemistry, University of Bristol, Bristol, BS8 1TS, UK
SOURCE: Organic Letters (2000), 2(25), 4051-4054
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:101106
AB C-Glycosides of N-acyl 2-amino-2-deoxygalactose (acyl = MeCO, CF3CO, t-BuOCO) are available in a stereoselective manner by trapping of an anomeric radical with an activated alkene. Using anomeric selenides, radical generation and trapping is carried out under conditions that avoid competitive redn., and this chem. has been applied to the synthesis of the novel C-glycoside analog of O-benzyl .alpha.-D-GalNAc.
IT **317816-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of .alpha.-C-glycosides similar to N-acyl galactosamine via a radical mediated stereoselective glycosylation)
RN 317816-97-0 CAPLUS

CN D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7,8-trideoxy-8-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

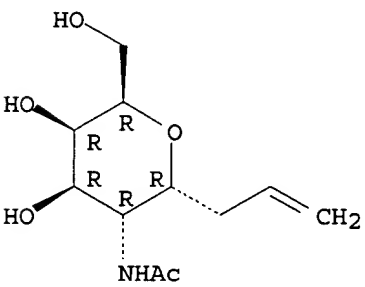
L28 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:184011 CAPLUS
DOCUMENT NUMBER: 133:4858
TITLE: Stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine
AUTHOR(S): Cipolla, Laura; La Ferla, Barbara; Lay, Luigi; Peri, Francesco; Nicotra, Francesco
CORPORATE SOURCE: Dipartimento di Biotecnologie e Bioscienze, Dipartimento di Biotecnologie e Bioscienze, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Tetrahedron: Asymmetry (2000), 11(1), 295-303
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:4858

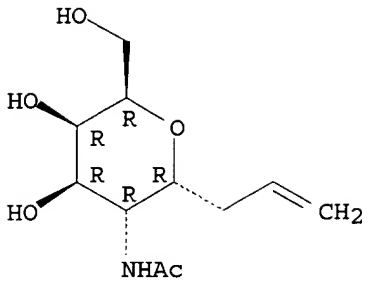
AB Attempts to synthesize .alpha.-C-glycosides of N-acetylgalactosamine by selective deprotection at C-2' of allyl .alpha.-C-galactoside and subsequent amination failed, but opened the way to .alpha.-C-talopyranosides. The synthesis of .alpha.-C-glycosides of N-acetylgalactosamine was performed from allyl .alpha.-C-glucopyranoside, which was regioselectively deprotected, stereoselectively aminated at C-2', and finally epimerized at C-4'.

IT **271246-14-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and conversion of allyl function to Me ketone; stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine)

RN 271246-14-1 CAPLUS
CN D-glycero-L-galacto-Non-8-enitol, 5-(acetylamino)-2,6-anhydro-5,7,8,9-tetradeoxy- (9CI) (CA INDEX NAME)

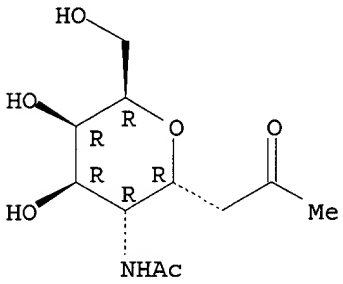
Absolute stereochemistry.





IT **271246-07-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective synthesis of .alpha.-C-glycosides of N-acetylgalactosamine)
RN 271246-07-2 CAPLUS
CN D-glycero-L-gluco-2-Nonulose, 5-(acetylamino)-4,8-anhydro-1,3,5-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

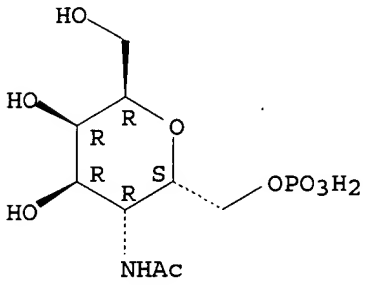


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:792651 CAPLUS
DOCUMENT NUMBER: 132:208073
TITLE: Synthesis of Novel Donor Mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as Potential Transferase Inhibitors
AUTHOR(S): Schaefer, Andreas; Thiem, Joachim
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Hamburg, Hamburg, D-20146, Germany
SOURCE: Journal of Organic Chemistry (2000), 65(1), 24-29
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB For the enzymic transfer of galactose, N-acetylglucosamine, and N-acetylgalactosamine, UDP-Gal, UDP-GlcNAc, and UDP-GalNAc are employed, and UDP serves as a feedback inhibitor. In this paper the synthesis of the novel UDP-sugar analogs as potential transferase inhibitors is described. UDP-sugar analogs feature C-glycosidic hydroxymethylene linkages between the sugar and nucleoside moieties in contrast to the anomeric oxygens in the natural derivs.
IT **260551-16-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of donor mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as potential transferase inhibitors)
RN 260551-16-4 CAPLUS

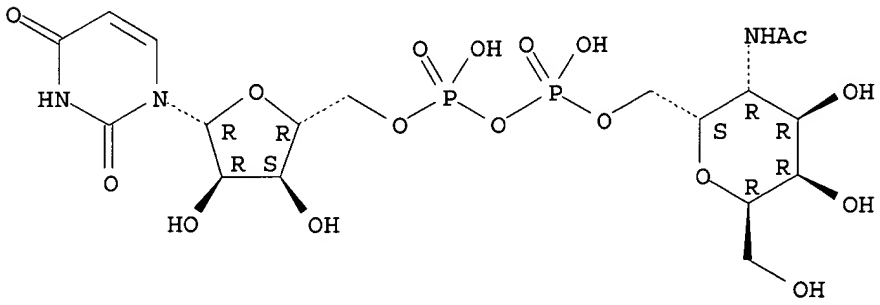
CN D-glycero-L-galacto-Heptitol, 5-(acetylamino)-2,6-anhydro-5-deoxy-, 7-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



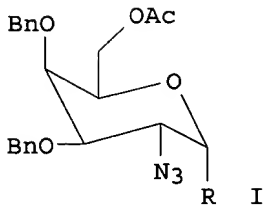
IT **260551-04-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of donor mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as potential transferase inhibitors)
RN 260551-04-0 CAPLUS
CN Uridine 5'-(trihydrogen diphosphate), P'.fwdarw.7-ester with 5-(acetylamino)-2,6-anhydro-5-deoxy-D-glycero-L-galacto-heptitol (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:112881 CAPLUS
DOCUMENT NUMBER: 122:161118
TITLE: Synthesis of .alpha.-C-glycopyranosides of D-galactosamine and D-glucosamine via iodocyclization of corresponding glycals and silver tetrafluoroboranuide-promoted alkynylation at the anomeric center
AUTHOR(S): Leteux, Christine; Veyrieres, Alain
CORPORATE SOURCE: UFR-Fac. Sci., Univ. Orleans, Orleans, 45067, Fr.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (18), 2647-55
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:161118
GI



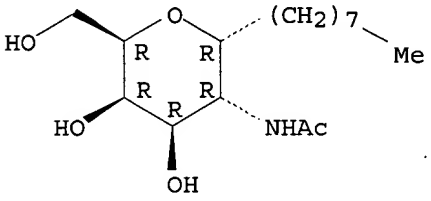
AB Iodointramol cyclocondensation of O-stannylated D-galactal followed by azidolysis gave 1,6-anhydro-2-azido-2-deoxy-.beta.-D-galactopyranose. Transformation into bromide I (R = Br) allowed coupling of various alkynyltributylstannanes in the presence of silver tetrafluoroboranuide (silver tetrafluoroborate), thus affording the corresponding .alpha.,.beta.-C-(D-galactopyranosyl)alkynes, e.g. I (R = C.tplbond.CPh).

IT **161254-84-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of acetamidodeoxy C-glycopyranosides via iodination-cycloaddn. of glycals and silver tetrafluoroborate promoted C-alkynylation)

RN 161254-84-8 CAPLUS

CN Acetamide, N-[tetrahydro-4,5-dihydroxy-6-(hydroxymethyl)-2-octyl-2H-pyran-3-yl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.beta.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003
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L2 0 S L1 SSS SAM
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4 SSS SAM
L6 1 S L4 SSS FULL
L7 STRUCTURE UPLOADED
L8 0 S L7 SSS SAM
L9 0 S L7 SSS FULL
L10 STRUCTURE UPLOADED
L11 0 S L10 SSS SAM
L12 2 S L10 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003
L13 2 S L12
L14 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003
L15 STRUCTURE UPLOADED
L16 1 S L15 SSS SAM

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     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003
L17            1 S L16

     FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:32:35
     ON 09 SEP 2003
L18            2 S L16
L19            2 DUP REM L18 (0 DUPLICATES REMOVED)

     FILE 'REGISTRY' ENTERED AT 11:42:24 ON 09 SEP 2003
L20              STRUCTURE UPLOADED
L21            1 S L20 SSS SAM
L22           19 S L20 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:46:02 ON 09 SEP 2003
L23            7 S L22
L24            7 DUP REM L23 (0 DUPLICATES REMOVED)

     FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:53:29
     ON 09 SEP 2003
L25            9 S L22
L26            0 S L25 NOT L23

     FILE 'REGISTRY' ENTERED AT 11:55:43 ON 09 SEP 2003
L27           18 S L15 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:57:51 ON 09 SEP 2003
L28            7 S L27
L29            0 S L28 NOT L23
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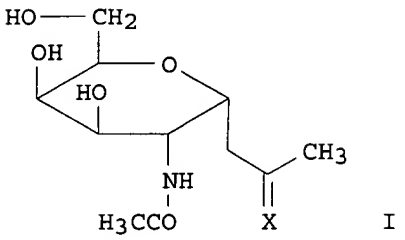
L31 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:170743 CAPLUS
DOCUMENT NUMBER: 137:79209
TITLE: Novel Tn antigen-containing neoglycopeptides: synthesis and evaluation as anti tumor vaccines
AUTHOR(S): Cipolla, Laura; Rescigno, Maria; Leone, Antonella; Peri, Francesco; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, Universita degli Studi di Milano-Bicocca, Milan, 20126, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1639-1646
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:79209
GI



I

AB The fully unprotected .alpha.-C-glycosyl analog of N-acetylgalactosamine (I; X = O) was **conjugated** by a non-natural oxime bond to the segment peptides 328-340OVA and 327-339OVA, affording neoglycopeptides R-CH2C(O)-peptide-OH [II; R = I, X = N-, peptide = VHAAHAEINEAGRG: III; R = I, X = N-, peptide = AVHAAHAEINEAG: IV; R = I, X = N-, peptide = Lys(R-CH2C(O))-AVHAAHAEINEAG], having one or two sugar units, resp. The three neoglycopeptides were tested in vitro in an antigen presentation assay as antitumor vaccines. Neoglycopeptides II-IV could be presented to and recognized by the T cell receptor; neoglycopeptide IV, bearing two B-epitopes, was presented to the TCR with higher efficiency, compared to neoglycopeptide III, having only one B-epitope.
REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:260583 CAPLUS
DOCUMENT NUMBER: 135:44926
TITLE: Synthesis and Biological Evaluation of an Anticancer Vaccine Containing the C-Glycoside Analogue of the Tn Epitope
AUTHOR(S): Peri, Francesco; Cipolla, Laura; Rescigno, Maria; La Ferla, Barbara; Nicotra, Francesco
CORPORATE SOURCE: Department of Biotechnology and Biosciences, University of Milano-Bicocca, Milan, I-20126, Italy
SOURCE: Bioconjugate Chemistry (2001), 12(3), 325-328
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The C-saccharide analog of the GalNAc (Tn epitope) has been covalently linked to the T cell epitope peptide 328-340OVA using a chemoselective convergent synthetic approach. In this way, a non-hydrolyzable synthetic

vaccine was obtained composed by a B epitope **conjugated** to a T cell epitope. This compd. was tested in a proliferation assay with spleen cells from DO11.10 mice. The mol. was recognized by transgenic T cells although at a slightly lower efficiency if compared with the ref. peptide OVA. An addnl. expt. with dendritic cells fixed with glutaraldehyde shows that the glycopeptide can bind to extracellular MHC mols. without need of internalization and processing and that the C-glycoside part does not interfere with TCR recognition. These observations constitute an important starting point for the use of this mol. as vaccine against the Tn-expressing TA3-Ha mouse mammary carcinoma.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 10:43:54 ON 09 SEP 2003)

FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003

L1 STRUCTURE UPLOADED
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L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4 SSS SAM
L6 1 S L4 SSS FULL
L7 STRUCTURE UPLOADED
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L9 0 S L7 SSS FULL
L10 STRUCTURE UPLOADED
L11 0 S L10 SSS SAM
L12 2 S L10 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003

L13 2 S L12
L14 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003

L15 STRUCTURE UPLOADED
L16 1 S L15 SSS SAM

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003

L17 1 S L16

FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:32:35 ON 09 SEP 2003

L18 2 S L16
L19 2 DUP REM L18 (0 DUPLICATES REMOVED)

FILE 'REGISTRY' ENTERED AT 11:42:24 ON 09 SEP 2003

L20 STRUCTURE UPLOADED
L21 1 S L20 SSS SAM
L22 19 S L20 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:46:02 ON 09 SEP 2003

L23 7 S L22
L24 7 DUP REM L23 (0 DUPLICATES REMOVED)

FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:53:29 ON 09 SEP 2003

L25 9 S L22
L26 0 S L25 NOT L23

FILE 'REGISTRY' ENTERED AT 11:55:43 ON 09 SEP 2003

L27 18 S L15 SSS FULL

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     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:57:51 ON 09 SEP 2003
L28            7 S L27
L29            0 S L28 NOT L23
L30            0 S L28 AND MUCIN
L31            2 S L28 AND CONJUGAT?
L32            1 S L28 AND CARRI?

=> d l32 1 bib abs

L32  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2000:792850  CAPLUS
DN   134:101106
TI   Radical-Mediated Synthesis of .alpha.-C-Glycosides Based on N-Acyl
     Galactosamine
AU   SanMartin, Raul; Tavassoli, Bahareh; Walsh, Kenneth E.; Walter, Daryl S.;
     Gallagher, Timothy
CS   School of Chemistry, University of Bristol, Bristol, BS8 1TS, UK
SO   Organic Letters (2000), 2(25), 4051-4054
     CODEN: ORLEF7; ISSN: 1523-7060
PB   American Chemical Society
DT   Journal
LA   English
OS   CASREACT 134:101106
AB   C-Glycosides of N-acyl 2-amino-2-deoxygalactose (acyl = MeCO, CF3CO,
     t-BuOCO) are available in a stereoselective manner by trapping of an
     anomeric radical with an activated alkene.  Using anomeric selenides,
     radical generation and trapping is carried out under conditions
     that avoid competitive redn., and this chem. has been applied to the
     synthesis of the novel C-glycoside analog of O-benzyl .alpha.-D-GalNAc.
RE.CNT  55    THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> d l32 1 ibib abs hitstr

L32  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         2000:792850  CAPLUS
DOCUMENT NUMBER:          134:101106
TITLE:                    Radical-Mediated Synthesis of .alpha.-C-Glycosides
                          Based on N-Acyl Galactosamine
AUTHOR(S):                SanMartin, Raul; Tavassoli, Bahareh; Walsh, Kenneth
                          E.; Walter, Daryl S.; Gallagher, Timothy
CORPORATE SOURCE:         School of Chemistry, University of Bristol, Bristol,
                          BS8 1TS, UK
SOURCE:                   Organic Letters (2000), 2(25), 4051-4054
                          CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER:                American Chemical Society
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 134:101106
AB   C-Glycosides of N-acyl 2-amino-2-deoxygalactose (acyl = MeCO, CF3CO,
     t-BuOCO) are available in a stereoselective manner by trapping of an
     anomeric radical with an activated alkene.  Using anomeric selenides,
     radical generation and trapping is carried out under conditions
     that avoid competitive redn., and this chem. has been applied to the
     synthesis of the novel C-glycoside analog of O-benzyl .alpha.-D-GalNAc.
IT   317816-97-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of .alpha.-C-glycosides similar to N-acyl galactosamine via a
        radical mediated stereoselective glycosylation)
RN   317816-97-0  CAPLUS
CN   D-glycero-L-galacto-Octitol, 5-(acetylamino)-2,6-anhydro-5,7,8-trideoxy-8-
     phenyl- (9CI)  (CA INDEX NAME)
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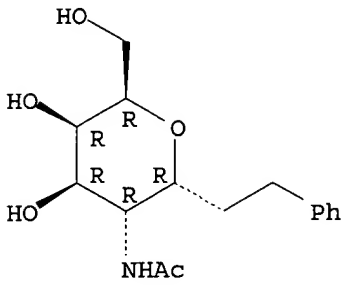
Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 10:44:04 ON 09 SEP 2003
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L12 2 S L10 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:00:53 ON 09 SEP 2003
L13 2 S L12
L14 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003
L15 STRUCTURE UPLOADED
L16 1 S L15 SSS SAM

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003
L17 1 S L16

FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:32:35 ON 09 SEP 2003
L18 2 S L16
L19 2 DUP REM L18 (0 DUPLICATES REMOVED)

FILE 'REGISTRY' ENTERED AT 11:42:24 ON 09 SEP 2003
L20 STRUCTURE UPLOADED
L21 1 S L20 SSS SAM
L22 19 S L20 SSS FULL

FILE 'CAPLUS, MEDLINE' ENTERED AT 11:46:02 ON 09 SEP 2003
L23 7 S L22
L24 7 DUP REM L23 (0 DUPLICATES REMOVED)

FILE 'REGISTRY, BEILSTEIN, USPATFULL, CA, CHEMCATS' ENTERED AT 11:53:29 ON 09 SEP 2003

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L25             9 S L22
L26             0 S L25 NOT L23

     FILE 'REGISTRY' ENTERED AT 11:55:43 ON 09 SEP 2003
L27            18 S L15 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:57:51 ON 09 SEP 2003
L28             7 S L27
L29             0 S L28 NOT L23
L30             0 S L28 AND MUCIN
L31             2 S L28 AND CONJUGAT?
L32             1 S L28 AND CARRI?
```

L36 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:679388 CAPLUS
TITLE: Modulation of the Pharmacokinetic Properties of PNA: Preparation of Galactosyl, Mannosyl, Fucosyl, **N-Acetylgalactosaminyl**, and **N-Acetylglucosaminyl** Derivatives of Aminoethylglycine Peptide Nucleic Acid Monomers and Their Incorporation into PNA Oligomers
AUTHOR(S): Hamzavi, Ramin; Dolle, Frederic; Tavitian, Bertrand; Dahl, Otto; Nielsen, Peter E.
CORPORATE SOURCE: Center for Biomolecular Recognition Department of Medical Biochemistry and Genetics, University of Copenhagen, Copenhagen, DK-2200, Den.
SOURCE: Bioconjugate Chemistry (2003), 14(5), 941-954
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of N-(2-aminoethyl)-.alpha.-amino acid thymine peptide nucleic acid (PNA) monomers bearing glycosylated side chains in the .alpha.-amino acid position have been synthesized. These include PNA monomers where glycine has been replaced by serine and threonine (O-glycosylated), derivs. of lysine and nor-alanine (**C-glycosylated**), and amide derivs. of aspartic acid (N-glycosylated). The Boc and Fmoc derivs. of these monomers were used for incorporation in PNA oligomers. Twelve PNA decamers contg. the glycosylated units in one, two, or three positions were prepd., and the thermal stability (Tm) of their complexes with a complementary RNA was detd. Incorporation of the glycosyl monomers reduced the duplex stability by 0-6 .degree.C per substitution. A cysteine was attached to the amino terminus of eight of the PNA decamers (Cys-CTCATACTCT-NH2) for easy conjugation to a [18F]radiolabeled N-(4-fluorobenzyl)-2-bromoacetamide. The in vivo biodistribution of these PNA oligomers was detd. in rat 2 h after i.v. administration. Most of the radioactivity was recovered in the kidneys and in the urine. However, **N-acetylgalactosamine** (and to a lesser extent **galactose** and mannose)-modified PNAs were effectively targeting the liver (40-fold over unmodified PNA). Thus, the pharmacodistribution in rats of PNA oligomers can be profoundly changed by glycosylation. These results could be of great significance for PNA drug development, as they should allow modulation and fine-tuning of the pharmacokinetic profile of a drug lead.
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:356613 CAPLUS
DOCUMENT NUMBER: 138:367673
TITLE: Selection of animal cell lines performing defined post-translational modifications and their use in the manufacture of post-translationally-modified proteins
INVENTOR(S): Opstelten, Dirk Jan Elbertus; Kapteyn, Johan Christiaan; Passier, Petrus Christianus Johannes Josephus; Brus, Ronald Hendrik Peter; Bout, Abraham
PATENT ASSIGNEE(S): Crucell Holland B.V., Neth.
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003038100 A1 20030508 WO 2002-NL686 20021029
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
WO 2003050286 A1 20030619 WO 2001-NL792 20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: WO 2001-NL792 A 20011029
WO 2002-NL257 A 20020419

AB Methods of identifying and selecting mammalian cell lines capable of synthesizing a protein with a preferred pattern of post-translational modifications are described for use in manuf. of the protein. Preferably, the post-translational modifications include glycosylation. Preferably, the protein is erythropoietin (EPO). The biol. activity of EPO manufd. in transgenic host cells depends heavily on its glycosylation pattern. Mammalian cells that have been screened for the patterns of glycosylation are provided. These cells preferably produce neural-type glycosylation patterns on proteins. Patterns of glycosidation of erythropoietin manufd. in PER.C6.RTM. cells were analyzed by mass spectrometry of oligosaccharides released by N-glycanase F from gel-purified protein. These cells produced a neural type glycosidation of erythropoietin with extensive fucosylation. They have .alpha.1,3- and .alpha.1,6-fucosyltransferase activities but no .alpha.1,2-fucosyltransferase and accordingly produced Lewis x epitopes, but not Lewis y. This form of erythropoietin was 25-fold less effective at inducing erythropoiesis than that manufd. with serum type glycosidation in CHO cells, but showed a greater neuroprotective effect in cases of cerebral ischemia in a subarachnoid hemorrhage model.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:384763 CAPLUS
DOCUMENT NUMBER: 133:177374
TITLE: The C-Disaccharide .alpha.-C(1.fwdarw.3)-Mannopyranoside of **N-Acetylgalactosamine** Is an Inhibitor of Glycohydrolases and of Human .alpha.-1,3-Fucosyltransferase VI. Its Epimer .alpha.-(1.fwdarw.3)-Mannopyranoside of **N-Acetyltalosamine** Is Not
AUTHOR(S): Pasquarello, Carla; Picasso, Sylviane; Demange, Raynald; Malissard, Martine; Berger, Eric G.; Vogel, Pierre
CORPORATE SOURCE: Section de Chimie, Universite de Lausanne, BCH, Lausanne-Dorigny, CH-1015, Switz.
SOURCE: Journal of Organic Chemistry (2000), 65(14), 4251-4260
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:177374

AB The radical **C-glycosidation** of (-)-(1S,4R,5R,6R)-6-endo-chloro-3-methylidene-5-exo-(phenylseleno)-7-oxabicyclo[2.2.1]heptan-2-one with 2,3,4,6-tetra-O-acetyl-.alpha.-D-mannopyranosyl bromide gave (+)-(1S,3R,4R,5R,6R)-6-endo-chloro-5-exo-(phenylseleno)-3-endo-(1',3',4',5'-tetra-O-acetyl-2',6'-anhydro-7'-deoxy-D-glycero-D-manno-heptitol-7'-C-yl)-7-oxabicyclo[2.2.1]hept-2-one that was converted into (+)-(1R,2S,5R,6R)-5-acetamido-3-chloro-2-hydroxy-6-(1',3',4',5'-tetra-O-acetyl-2',6'-anhydro-7'-deoxy-D-glycero-D-manno-heptitol-7'-C-yl)cyclohex-3-en-1-yl acetate (I) and into (+)-(1R,2S,5R,6S)-5-bromo-3-chloro-2-hydroxy-6-(1',3',4',5'-tetra-O-acetyl-2',6'-anhydro-7'-deoxy-D-glycero-D-manno-heptitol-7'-C-yl)cyclohex-3-en-1-yl acetate(II). Ozonolysis of I and further transformations provided 2-acetamido-2,3-dideoxy-3-C-(2',6'-anhydro-7'-deoxy-D-glycero-D-manno-heptitol-7'-C-yl)-D-**galactose** (.alpha.-C(1.fwdarw.3)-D-mannopyranoside of **N-acetylgalactosamine** (.alpha.-D-Manp-(1.fwdarw.3)CH2-D-GalNAc): (III)). Displacement of the bromide II with NaN3 in DMF provided the corresponding azide (IV) following a SN2 mechanism. Ozonolysis of IV and further transformations led to 2-acetamido-2,3-dideoxy-3-C-(2',6'-anhydro-7'-deoxy-D-glycero-D-manno-heptitol-7'-C-yl)-D-talose (.alpha.-C(1.fwdarw.3)-D-mannopyranoside of **N-acetyl** D-talosamine (.alpha.-D-Manp-(1.fwdarw.3)CH2-D-TalNAc): (V)). The neutral C-disaccharide III inhibits several glycosidases (e.g., .beta.-galactosidase from jack bean with Ki = 7.5 .mu.M, .alpha.-L-fucosidase from human placenta with Ki = 28 .mu.M, .beta.-glucosidase from Caldocellum saccharolyticum with Ki = 18 .mu.M) and human .alpha.-1,3-fucosyltransferase VI (Fuc-TVI) with Ki = 120 .mu.M whereas its 2-epimer V does not. Double reciprocal anal. showed that the inhibition of Fuc-TVI by III displays a mixed pattern with respect to both the donor sugar GDP-fucose and the acceptor LacNAc with Ki of 123 and 128 .mu.M, resp.

REFERENCE COUNT: 120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:792651 CAPLUS
DOCUMENT NUMBER: 132:208073
TITLE: Synthesis of Novel Donor Mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as Potential Transferase Inhibitors
AUTHOR(S): Schaefer, Andreas; Thiem, Joachim
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Hamburg, Hamburg, D-20146, Germany
SOURCE: Journal of Organic Chemistry (2000), 65(1), 24-29
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB For the enzymic transfer of **galactose**, **N-acetylglucosamine**, and **N-acetylgalactosamine**, UDP-Gal, UDP-GlcNAc, and UDP-GalNAc are employed, and UDP serves as a feedback inhibitor. In this paper the synthesis of the novel UDP-sugar analogs as potential transferase inhibitors is described. UDP-sugar analogs feature **C-glycosidic** hydroxymethylene linkages between the sugar and nucleoside moieties in contrast to the anomeric oxygens in the natural derivs.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:445406 CAPLUS

DOCUMENT NUMBER: 122:211965
TITLE: Glycosylation changes of IgG associated with rheumatoid arthritis can activate complement via the mannose-binding protein
AUTHOR(S): Malhotra, Rajneesh; Wormald, Mark R.; Rudd, Pauline M.; Fischer, Per B.; Dwek, Raymond A.; Sim, Robert B.
CORPORATE SOURCE: Dep. of Biochemistry, Univ. of Oxford, Oxford, OX1 3QU, UK
SOURCE: Nature Medicine (New York) (1995), 1(3), 237-43
CODEN: NAMEFI; ISSN: 1078-8956
PUBLISHER: Nature Publishing Co.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The glycosylation of the circulating Ig-.gamma. (IgG) antibody mols. changes in rheumatoid arthritis. The extent of the changes correlates with the disease severity and reverses in remission. The authors demonstrate here that the alteration in glycosylation assocd. with rheumatoid arthritis can create a new mode for the interaction of IgG with complement through binding to the collagenous lectin mannose-binding protein (MBP). Rheumatoid arthritis is assocd. with a marked increase in IgG glycoforms that lack **galactose** (referred to as G0 glycoforms) in the Fc region of the mol. and that terminate in **N -acetyl** glucosamine (GlcNAc). The authors show, using NMR and x-ray data, that these terminal GlcNAc residues become accessible for MBP binding. The authors further demonstrate that multiple presentation of IgG-G0 glycoforms to MBP results in activation of the complement. Apparently, a contribution to the chronic inflammation of the synovial membrane could arise from the localization of the IgG-G0 glycoforms in the affected joint and from resulting activation of complement.

L36 ANSWER 6 OF 7 MEDLINE on STN
ACCESSION NUMBER: 2002728996 MEDLINE
DOCUMENT NUMBER: 22321048 PubMed ID: 12433463
TITLE: Synthesis of an ether-linked alkyl 5a-carba-beta-D-glucoside, a 5a-carba-beta-D-galactoside, a 2-acetamido-2-deoxy-5a-carba-beta-D-glucoside, and an alkyl 5a'-carba-beta-lactoside.
AUTHOR: Ogawa Seiichiro; Aoyama Hiroshi; Sato Toshinori
CORPORATE SOURCE: Department of Applied Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, Kohoku-ku, Yokohama, 223-8522 Japan.. ogawa@bio.keio.ac.jp
SOURCE: CARBOHYDRATE RESEARCH, (2002 Nov 19) 337 (21-23) 1979-92.
Journal code: 0043535. ISSN: 0008-6215.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200307
ENTRY DATE: Entered STN: 20021221
Last Updated on STN: 20030713
Entered Medline: 20030711

AB For the purpose of providing biologically stable building blocks for the biocombinatorial synthesis using a living cell, some ether-linked alkyl 5a-carba-beta-D-glycoside primers were prepared. The key step of the synthesis was coupling of 1-bromo-n-alkanes with the 1-OH unprotected derivatives of 5a-carba-sugar analogues of D-glucose, D-**galactose** , and 2-acetamido-2-deoxy-D-glucose (**N-acetyl** -D-glucosamine), in DMF in the presence of sodium hydride. Alternatively, alkyl carba-lactoside was synthesized by incorporation of a 5a-carba-beta-D-**galactose** residue into the 4-position of dodecyl beta-D-glucopyranoside. A strong and specific inhibition of beta-galactosidase (K(i) 0.67 microM, bovine liver) was found for dodecyl 5a-carba-beta-D-galactopyranoside.

L36 ANSWER 7 OF 7 MEDLINE on STN
ACCESSION NUMBER: 2000483387 MEDLINE
DOCUMENT NUMBER: 20276414 PubMed ID: 10813891
TITLE: Synthesis of novel donor mimetics of UDP-Gal, UDP-GlcNAc, and UDP-GalNAc as potential transferase inhibitors.
AUTHOR: Schafer A; Thiem J
CORPORATE SOURCE: Institut fur Organische Chemie, Universitat Hamburg, D-20146 Hamburg, Germany.
SOURCE: JOURNAL OF ORGANIC CHEMISTRY, (2000 Jan 14) 65 (1) 24-9. Journal code: 2985193R. ISSN: 0022-3263.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200010
ENTRY DATE: Entered STN: 20001019
Last Updated on STN: 20001019
Entered Medline: 20001010

AB For the enzymatic transfer of **galactose**, **N-acetylglucosamine**, and **N-acetylgalactosamine**, UDP-Gal (1), UDP-GlcNAc (2), and UDP-GalNAc (3) are employed, and UDP serves as a feedback inhibitor. In this paper the synthesis of the novel UDP-sugar analogues 4, 5, and 6 as potential transferase inhibitors is described. Compounds 4-6 feature **C-glycosidic** hydroxymethylene linkages between the sugar and nucleoside moieties in contrast to the anomeric oxygens in the natural derivatives 1-3.

L38 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:679388 CAPLUS
TITLE: Modulation of the Pharmacokinetic Properties of PNA: Preparation of Galactosyl, Mannosyl, Fucosyl, **N-Acetylgalactosaminyl**, and **N-Acetylglucosaminyl** Derivatives of Aminoethylglycine Peptide Nucleic **Acid** Monomers and Their Incorporation into PNA Oligomers
AUTHOR(S): Hamzavi, Ramin; Dolle, Frederic; Tavitian, Bertrand; Dahl, Otto; Nielsen, Peter E.
CORPORATE SOURCE: Center for Biomolecular Recognition Department of Medical Biochemistry and Genetics, University of Copenhagen, Copenhagen, DK-2200, Den.
SOURCE: Bioconjugate Chemistry (2003), 14(5), 941-954
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of N-(2-aminoethyl)-.alpha.-amino **acid** thymine peptide nucleic **acid** (PNA) monomers bearing glycosylated side chains in the .alpha.-amino **acid** position have been synthesized. These include PNA monomers where glycine has been replaced by serine and threonine (O-glycosylated), derivs. of lysine and nor-alanine (**C-glycosylated**), and amide derivs. of aspartic **acid** (N-glycosylated). The Boc and Fmoc derivs. of these monomers were used for incorporation in PNA oligomers. Twelve PNA decamers contg. the glycosylated units in one, two, or three positions were prepd., and the thermal stability (Tm) of their complexes with a complementary RNA was detd. Incorporation of the glycosyl monomers reduced the duplex stability by 0-6 .degree.C per substitution. A cysteine was attached to the amino terminus of eight of the PNA decamers (Cys-CTCATACTCT-NH2) for easy conjugation to a [18F]radiolabeled N-(4-fluorobenzyl)-2-bromoacetamide. The in vivo biodistribution of these PNA oligomers was detd. in rat 2 h after i.v. administration. Most of the radioactivity was recovered in the kidneys and in the urine. However, **N-acetylgalactosamine** (and to a lesser extent **galactose** and mannose)-modified PNAs were effectively targeting the liver (40-fold over unmodified PNA). Thus, the pharmacodistribution in rats of PNA oligomers can be profoundly changed by glycosylation. These results could be of great significance for PNA drug development, as they should allow modulation and fine-tuning of the pharmacokinetic profile of a drug lead.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:356613 CAPLUS
DOCUMENT NUMBER: 138:367673
TITLE: Selection of animal cell lines performing defined post-translational modifications and their use in the manufacture of post-translationally-modified proteins
INVENTOR(S): Opstelten, Dirk Jan Elbertus; Kapteyn, Johan Christiaan; Passier, Petrus Christianus Johannes Josephus; Brus, Ronald Hendrik Peter; Bout, Abraham
PATENT ASSIGNEE(S): Crucell Holland B.V., Neth.
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003038100 A1 20030508 WO 2002-NL686 20021029

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2003050286 A1 20030619 WO 2001-NL792 20011029

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-NL792 A 20011029
WO 2002-NL257 A 20020419

AB Methods of identifying and selecting mammalian cell lines capable of synthesizing a protein with a preferred pattern of post-translational modifications are described for use in manuf. of the protein. Preferably, the post-translational modifications include glycosylation. Preferably, the protein is erythropoietin (EPO). The biol. activity of EPO manufd. in transgenic host cells depends heavily on its glycosylation pattern. Mammalian cells that have been screened for the patterns of glycosylation are provided. These cells preferably produce neural-type glycosylation patterns on proteins. Patterns of glycosidation of erythropoietin manufd. in PER.C6.RTM. cells were analyzed by mass spectrometry of oligosaccharides released by N-glycanase F from gel-purified protein. These cells produced a neural type glycosidation of erythropoietin with extensive fucosylation. They have .alpha.1,3- and .alpha.1,6-fucosyltransferase activities but no .alpha.1,2-fucosyltransferase and accordingly produced Lewis x epitopes, but not Lewis y. This form of erythropoietin was 25-fold less effective at inducing erythropoiesis than that manufd. with serum type glycosidation in CHO cells, but showed a greater neuroprotective effect in cases of cerebral ischemia in a subarachnoid hemorrhage model.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

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L1             STRUCTURE UPLOADED
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L3           0 S L1 SSS FULL
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L7             STRUCTURE UPLOADED
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L10            STRUCTURE UPLOADED
L11          0 S L10 SSS SAM
L12          2 S L10 SSS FULL

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L13          2 S L12
L14            STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 11:21:03 ON 09 SEP 2003
L15            STRUCTURE UPLOADED
L16          1 S L15 SSS SAM

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:26:46 ON 09 SEP 2003
L17          1 S L16

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L18          2 S L16
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L22         19 S L20 SSS FULL

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L23          7 S L22
L24          7 DUP REM L23 (0 DUPLICATES REMOVED)

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     ON 09 SEP 2003
L25          9 S L22
L26          0 S L25 NOT L23

     FILE 'REGISTRY' ENTERED AT 11:55:43 ON 09 SEP 2003
L27         18 S L15 SSS FULL

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:57:51 ON 09 SEP 2003
L28          7 S L27
L29          0 S L28 NOT L23
L30          0 S L28 AND MUCIN
L31          2 S L28 AND CONJUGAT?
L32          1 S L28 AND CARRI?
L33          1 S D-GLYCERO-L-GALACTO-OCTITOL
L34      73697 S GALACTOSE
L35      10809 S L34 AND N-ACETY?
L36          7 S L35 AND C-GLYCOS?
L37          1 S L36 AND MUCIN
L38          2 S L36 AND ACID
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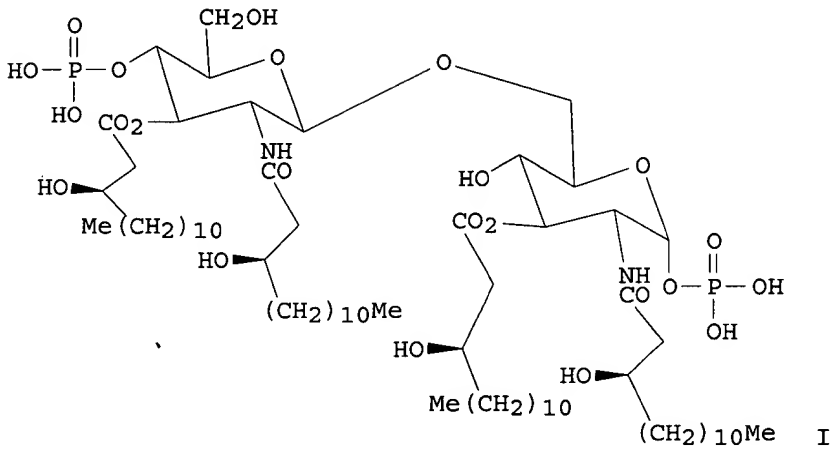
L39 0 S L36 AND ALDEHYDE

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:536543 CAPLUS
DOCUMENT NUMBER: 115:136543
TITLE: C-Glycosidic analogs of lipid A and lipid X: synthesis and biological activities
AUTHOR(S): Vyplel, Hermann; Scholz, Dieter; Macher, Ingolf; Schindlmaier, Karl; Schuetze, Eberhard
CORPORATE SOURCE: Sandoz Forschungsinst., Vienna, A-1235, Austria
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2759-67
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:136543
GI



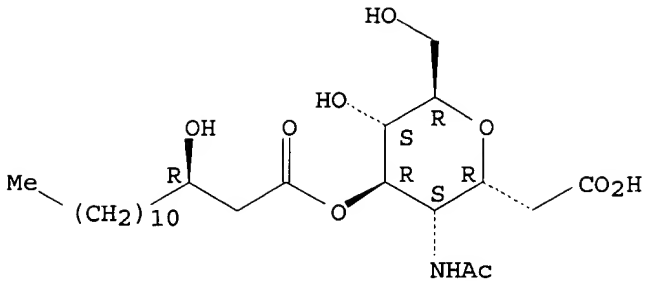
I

AB The synthesis of a series of novel analogs of lipid A (I), the lipophilic terminal of lipopolysaccharides (LPS), and lipid X, the reducing monosaccharide unit in lipid A, is reported. In these compds., the native 1-O-phosphate group was replaced by a "bioisosteric" CH2COOH substituent. The new N,O-acylated monosaccharide C-glycosides were obtained by Wittig reaction of suitably protected glucosamine derivs. These lipid X analogs were recognized as substrates by the enzyme lipid A synthase and were coupled with UDP-lipid X to afford the corresponding disaccharide analog of the lipid A precursor on preparative scale. All compds. were characterized by NMR, MS, and elemental anal., and were tested for their ability to enhance nonspecific resistance to infection in mice and also for endotoxicity. The results clearly show that the new compds. express biol. activities similar to those of their O-phosphorylated natural counterparts. Furthermore, these compds. exhibit a better therapeutic index in mouse models than the std. LPS obtained from Salmonella aboptus equi.

IT **135561-59-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and coupling of, with UDP lipid X ester)

RN 135561-59-0 CAPLUS
CN D-glycero-D-ido-Octonic acid, 4-(acetylamino)-3,7-anhydro-2,4-dideoxy-, 5-(3-hydroxytetradecanoate), (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

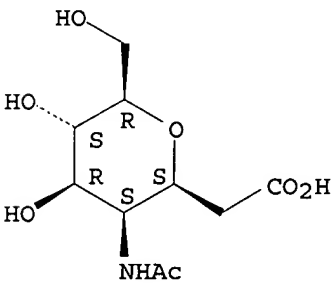


L13 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:514951 CAPLUS
DOCUMENT NUMBER: 115:114951
TITLE: Thermal and photochemical degradation of sodium N-acetylneuraminate
AUTHOR(S): Sugiyama, Naokazu; Saito, Kinichi; Fujikura, Kazushige; Sugai, Kei; Yamada, Noriyuki; Goto, Motoaki; Ban, Chieko; Hayasaka, Etsuko; Tomita, Kenkichi
CORPORATE SOURCE: Cent. Res. Inst., MECT Corp., Saitama, 359, Japan
SOURCE: Carbohydrate Research (1991), 212, 25-36
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The thermal and photochem. degrdn. products of sodium N-acetylneuraminate (sodium Neu5Ac) were investigated by means of 1H and 13C NMR spectroscopy, and mass spectrometry. Under all thermal conditions, sodium 5-acetamido-4,8-anhydro-3,5-dideoxy-D-glycero-D-galacto-nonulosonate was obtained as the main product; on heating in alk. soln., 4-acetamido-3,7-anhydro-2,4-dideoxy-D-glycero-D-galacto-octonic acid, pyrrole-2-carboxylic acid, and sodium 5-(D-arabino-tetrahydroxybutyl)pyrrole-2-carboxylate; on heating in acidic soln., sodium 5-(D-erythro-furanosyl)pyrrole-2-carboxylate, and sodium 5-acetamido-2,7-anhydro-3,5-dideoxy-D-glycero-.alpha.-D-galacto-nonulopyranosonate; on refluxing in neutral soln., 2-(.beta.-D-erythro-furanosyl)pyrrole; and on heating of sodium Neu5Ac powder, 5-acetamido-2,6-anhydro-3,5-dideoxy-D-glycero-D-galacto-non-2-enonic acid were obtained. Furthermore, on exposure to UV light (360 nm), 4-acetamido-2,4-dideoxy-D-glycero-D-galacto-octonic acid was produced.

IT **135754-33-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sequential methanolysis and acetylation of)
RN 135754-33-5 CAPLUS
CN D-glycero-D-galacto-Octonic acid, 4-(acetylamino)-3,7-anhydro-2,4-dideoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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3	0	N-acetylgalactosamine adj 2-acetic adj acid	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:30
4	0	N-acetylgalactosamine adj 2-ethanoic adj acid	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:31
5	381	N-acetylgalactosamine and acetic adj acid	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:31
6	139	(N-acetylgalactosamine and acetic adj acid) and conjugate	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:32
7	110	((N-acetylgalactosamine and acetic adj acid) and conjugate) and derivative	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:36
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9	2	N-acetylgalactosamine and c-glycoside	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:39
10	478	N-acetylgalactosamine and carboxy?	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:41
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12	195	(N-acetylgalactosamine and carboxylic adj acid) and antigen	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:43
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14	6	N-acetylgalactosamine and non-mucin	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/09/09 18:50